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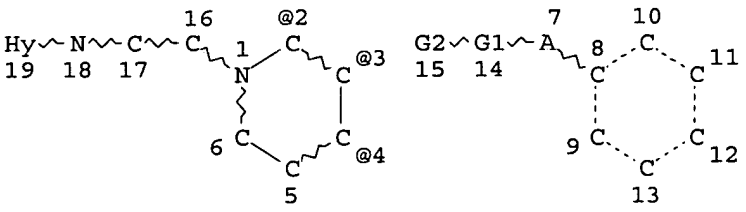
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END OF SEARCH HISTORY

12-20-06

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L3                STR
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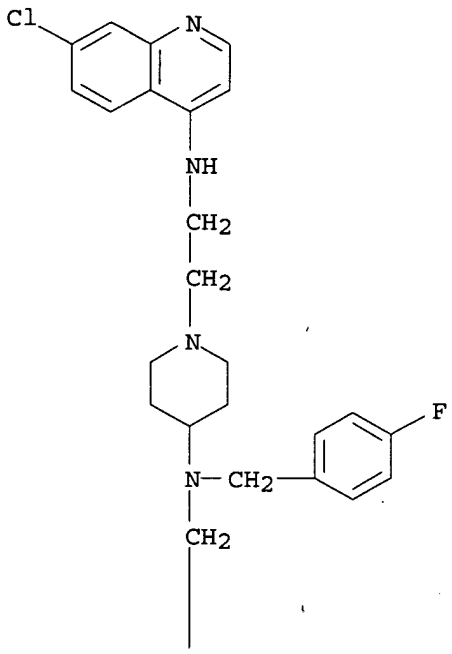
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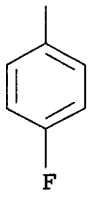
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L6   59 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN   4-Quinolinamine, N-[2-[4-[bis[(4-fluorophenyl)methyl]amino]-1-
     piperidinyl]ethyl]-7-chloro- (9CI)
MF   C30 H31 Cl F2 N4
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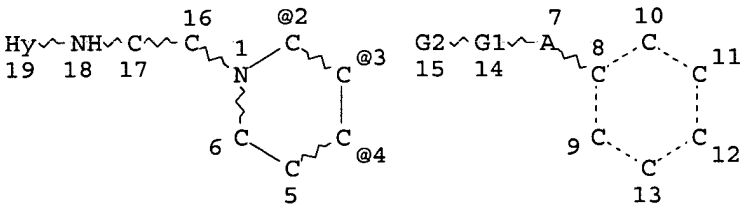
PAGE 1-A



PAGE 2-A



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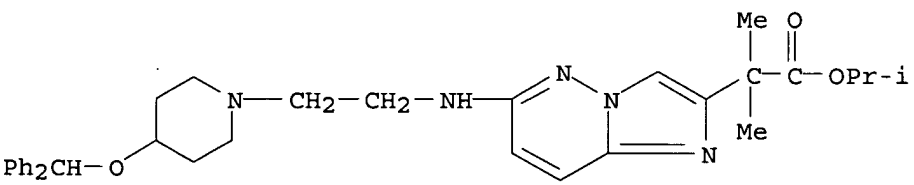
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100.0% PROCESSED 59 ITERATIONS 53 ANSWERS
SEARCH TIME: 00.00.01

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=> d scan

L10 53 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl-, 1-methylethyl ester (9CI)
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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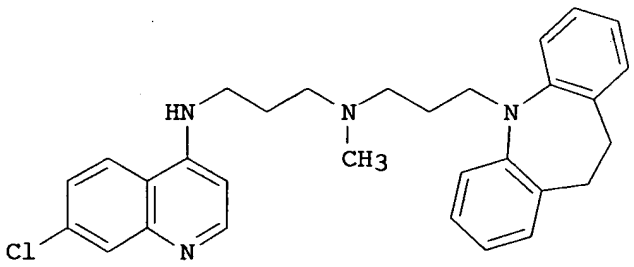
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L11 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:845314 CAPLUS
DN 145:271656
TI Preparation of quinoline derivatives as antimalarial agents
IN Peyton, David H.; Burgess, Steven
PA State of Oregon Acting by & Through the State Board of Higher Edu. On Behalf of Portland State Unv., USA
SO PCT Int. Appl., 97pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006088541	A2	20060824	WO 2005-US44978	20051212
WO 2006088541	A3	20061116		

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PRAI US 2005-654207P P 20050217
OS MARPAT 145:271656
GI



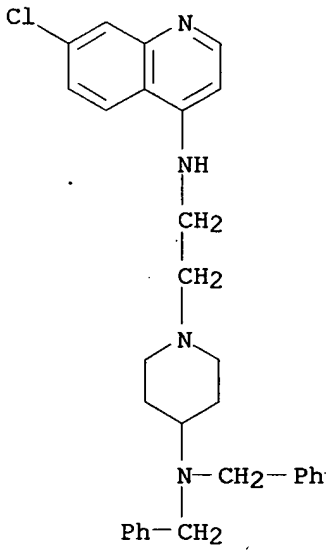
I

AB The invention provides a new class of compds. referred to as "reversed chloroquines" (RCQs), which are highly effective against CQR and CQS malaria parasites. RCQs are hybrid mols. with a formula of Q-L-G, wherein Q represents an antimalarial quinoline analog moiety (un)substituted 4-quinolinylamino; L represents a linker; and Q represents a CQR reversal moiety. Also provided are pharmaceutical compns. including the disclosed RCQ compds., and methods of using such compds. and compns. for the treatment of malaria and inhibition of CQR or CQS Plasmodium sp. For example, I was synthesized from 4,7-dichloroquinoline in three steps, and showed binding activity toward heme. This compound also exhibited high inhibition of the growth of CQR and CQS P.falciparum strains in vitro with

IC50 values of 2.9 nM and 5.3 nM, resp., which is stronger than chloroquine. In addition, I was found to be non-toxic to mouse spleen lymphocytes and not readily uptaken by CNS neurotransmitter transporters.

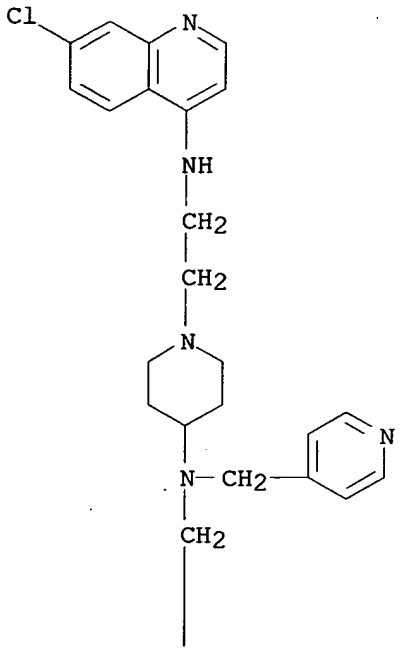
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907195-03-3P 907195-06-6P 907195-09-9P
907195-10-2P 907195-11-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as antimalarial agents)

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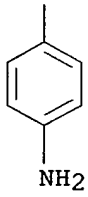


RN 907194-98-3 CAPLUS
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PAGE 1-A

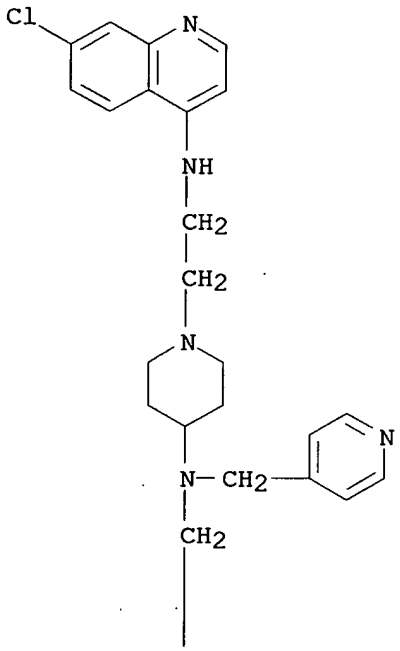


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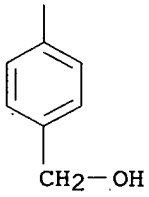


RN 907194-99-4 CAPLUS
CN Benzenemethanol, 4-[[[1-[2-[(7-chloro-4-quinolinyl)amino]ethyl]-4-piperidinyl](4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

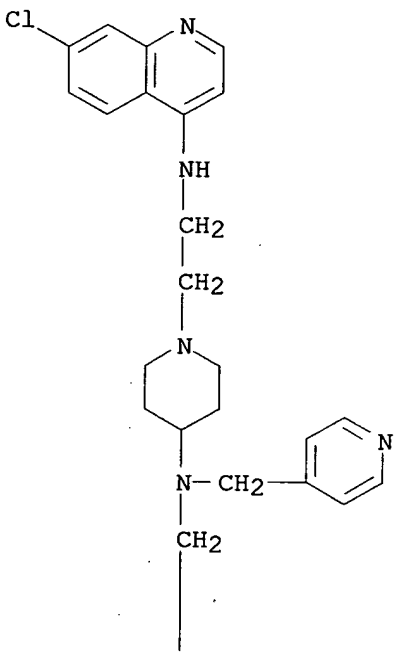


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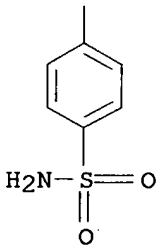


RN 907195-03-3 CAPLUS
CN Benzenesulfonamide, 4-[[[1-[2-[(7-chloro-4-quinolinyl)amino]ethyl]-4-piperidinyl](4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

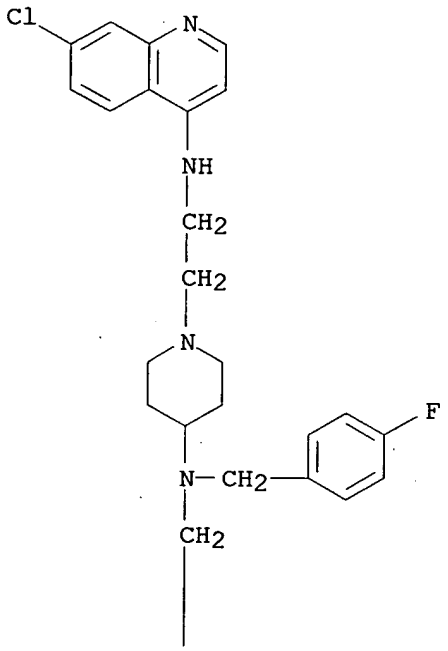


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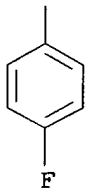


RN 907195-06-6 CAPLUS
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PAGE 1-A

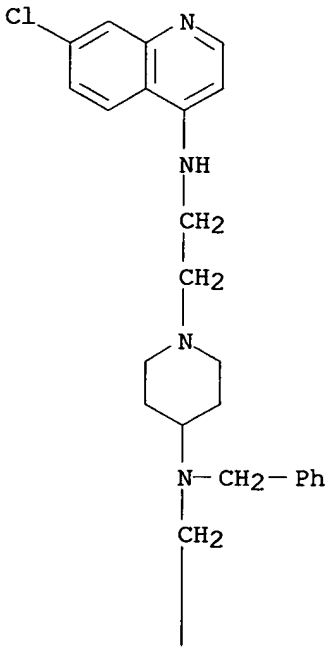


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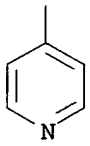


RN 907195-09-9 CAPLUS
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PAGE 1-A

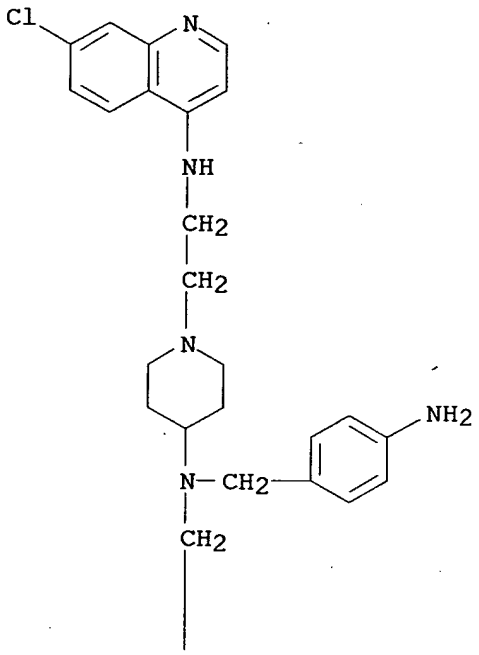


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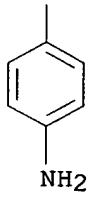


RN 907195-10-2 CAPLUS
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PAGE 1-A

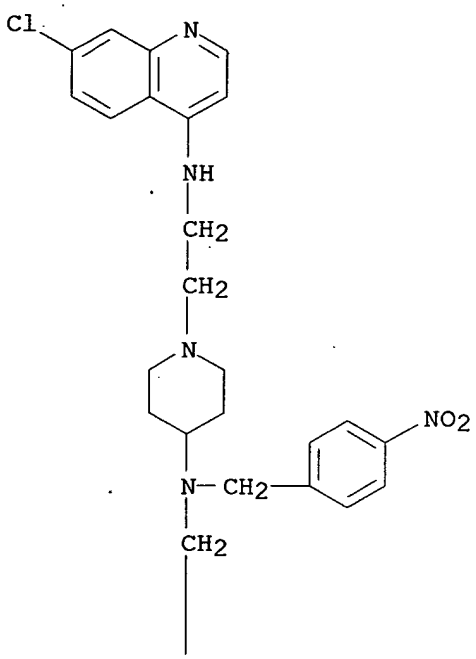


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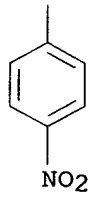


RN 907195-11-3 CAPLUS
CN 4-Quinolinamine, N-[2-[4-[bis[(4-nitrophenyl)methyl]amino]-1-piperidinyl]ethyl]-7-chloro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L11 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:29518 CAPLUS
DN 144:108352
TI Preparation of quinazolinone derivatives as PARP inhibitors
IN Guillemont, Jerome Emile Georges; Kennis, Ludo Edmond Josephine; Mertens, Josephus Carolus; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006003146	A1	20060112	WO 2005-EP53029	20050628

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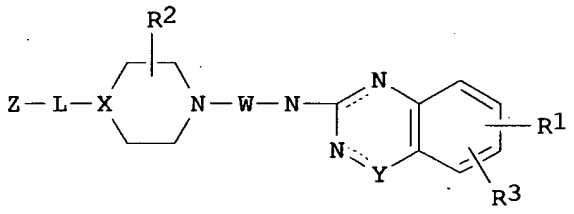
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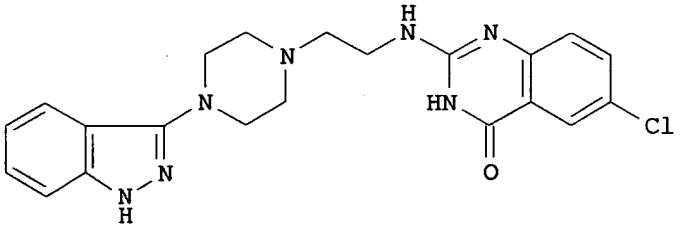
PRAI EP 2004-76887 A 20040630

OS MARPAT 144:108352

GI



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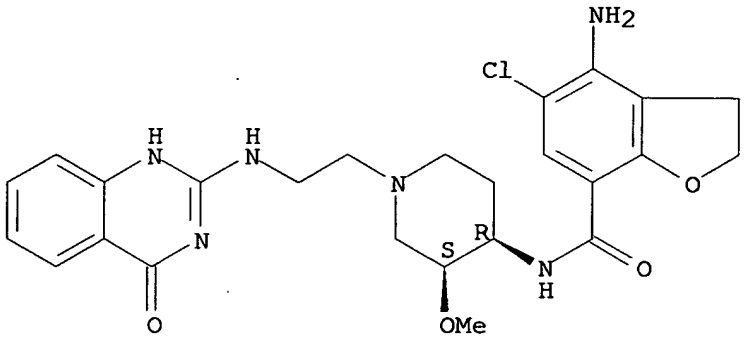
II

AB Title compds. I [W = C1-6alkanediyl; X = N, CH; NY = NCO, N=CR4; R4 = OH; L = bond, bivalent radical selected from CO, CONH, etc.; R1 = H, halo, alkoxy, etc.; R2 = H, OH, alkoxy, etc.; when X is substituted with R2, then R2 taken together with LZ can form a bivalent radical CONHCH2NH10; R10 = phenyl; R3 = H, alkoxy; Z = amino, CN, etc.] are prepared For instance, II is prepared in 3 steps from 3-(1-piperazinyl)-1H-indazole, chloroacetonitrile and 6-chloro-2-methylthio-4(1H)-quinazolinone. II has pIC50 = 8.11 for poly(ADP-ribose) polymerase 1 (PARP-1). I are useful for the treatment of PARP-1 mediated disorders.

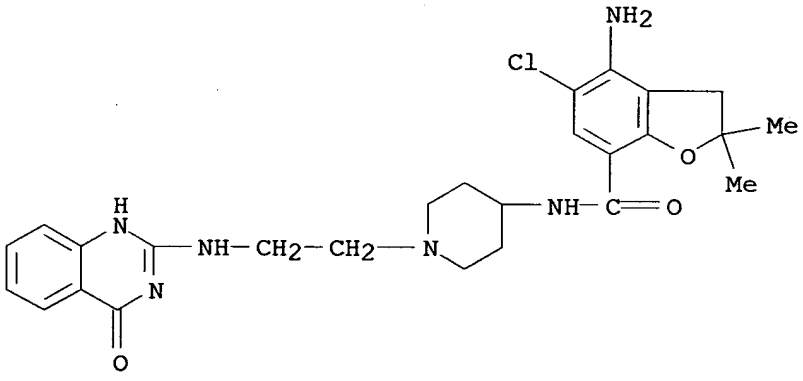
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156971-26-5 156971-28-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinazolinone derivs. as parp inhibitors)

RN 132976-03-5 CAPLUS
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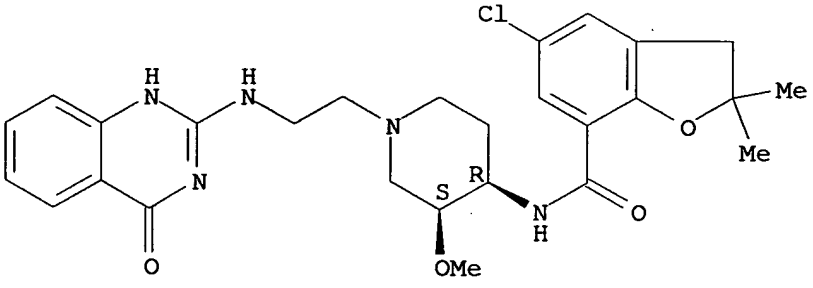


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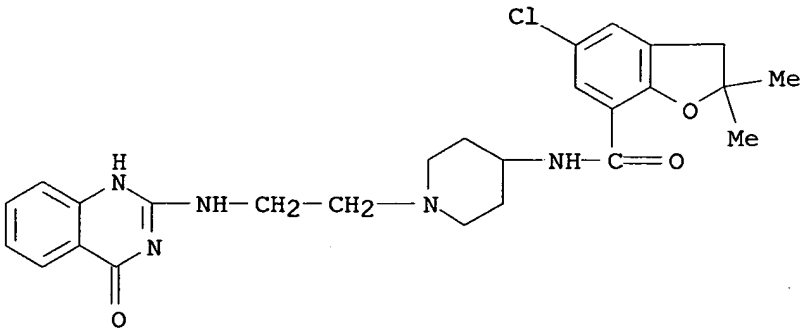
RN 156971-25-4 CAPLUS
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Relative stereochemistry.

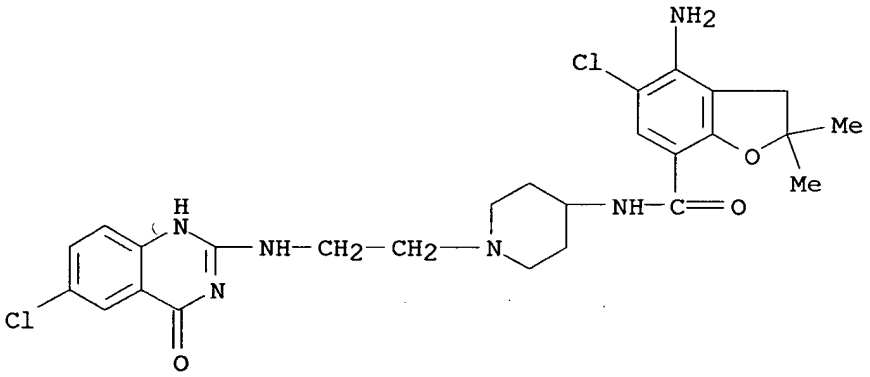


RN 156971-26-5 CAPLUS

CN 7-Benzofurancarboxamide, 5-chloro-N-[1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 156971-28-7 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

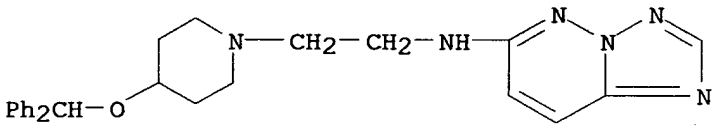
L11 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:354997 CAPLUS
DN 139:230747
TI Synthesis of eosinophil infiltration inhibitors with antihistaminic activity
AU Gyoten, Michiyo; Nagaya, Hideaki; Fukuda, Shigeru; Ashida, Yasuko; Kawano, Yasuhiko
CS Pharmaceutical Research Division, Takeda Chemical Industries, Ltd., Osaka, 532-8686, Japan
SO Chemical & Pharmaceutical Bulletin (2003), 51(2), 122-133
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 139:230747
AB A series of [1,2,4]triazolo[1,5-b]pyridazines and imidazo[1,2-b]pyridazines having cyclic amines was synthesized and evaluated for antihistaminic activity and inhibitory effect on eosinophil infiltration. When a piperidine or a piperazine containing a benzhydryl group and a suitable

spacer was incorporated at the 6-position, the fused pyridazines were found to exhibit both antihistaminic activity and an inhibitory effect on eosinophil chemotaxis. Above all, one product showed potent antihistaminic activity, but little blockade of central H1 receptors in contrast with its complete blockade of peripheral H1 receptors as determined by an ex vivo binding assay. Furthermore, the same product inhibited eosinophil infiltration of the skin caused by a topical antigen challenge in sensitized guinea pigs, while an antihistamine terfenadine was not effective. After the pharmacokinetic study, this product was found to be rapidly hydrolyzed to 2-[6-[[3-[4-(diphenylmethoxy)-piperidino]propyl]amino]imidazo [1,2-b]pyridazin-2-yl]-2-methylpropionic acid dihydrate (TAK-427), which was also orally active. The later product having both antihistaminic and antiinflammatory activity, is currently undergoing clin. trials as a therapeutic agent for atopic dermatitis and allergic rhinitis.

IT 215529-08-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of triazolopyridazines and imidazopyridazines having cyclic amines as potential eosinophil infiltration inhibitors with antihistaminic activity)

RN 215529-08-1 CAPLUS

CN [1,2,4]Triazolo[1,5-b]pyridazin-6-amine, N-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:97412 CAPLUS
DN 138:153539
TI Preparation of 2-(piperidin-1-yl)acetamides as NMDA receptor antagonists
IN Domany, Gyoergy; Horvath, Csilla; Farkas, Sandor; Barta Szalai, Gisella; Nagy, Jozsef; Kolok, Sandor; Kovacs Bozo, Eva; Borza, Istvan; Vago, Istvan; Bielik, Attila; Szendrei, Mrs. Gyorgyi Ignaczne; Keseru, Gyorgy
PA Richter Gedeon Vegyeszeti Gyar Rt., Hung.
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003010159	A1	20030206	WO 2002-HU71	20020723
WO 2003010159	C1	20040212		

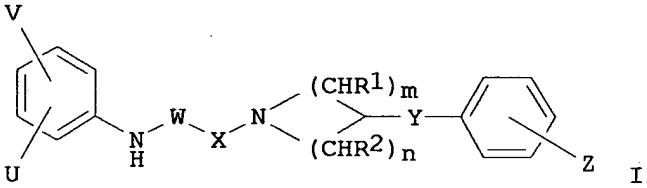
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

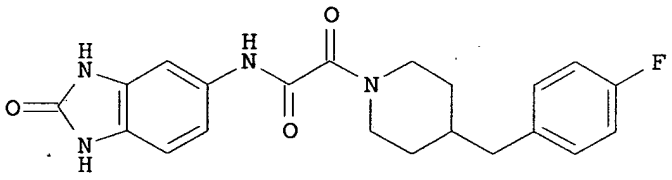
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	HU 200202213	A2	20040528	HU 2002-2213	20020710
	CA 2453383	AA	20030206	CA 2002-2453383	20020723
	EE 200400058	A	20040415	EE 2004-58	20020723
	EP 1409477	A1	20040421	EP 2002-753161	20020723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002011393	A	20040817	BR 2002-11393	20020723
	CN 1556805	A	20041222	CN 2002-814234	20020723
	JP 2005515968	T2	20050602	JP 2003-515518	20020723
	NZ 530055	A	20050729	NZ 2002-530055	20020723
	ZA 2004000417	A	20050518	ZA 2004-417	20040120
	US 2004157886	A1	20040812	US 2004-761940	20040121
	NO 2004000307	A	20040323	NO 2004-307	20040123
	BG 108592	A	20050331	BG 2004-108592	20040220
PRAI	HU 2001-3055	A	20010724		
	HU 2002-2213	A	20020710		
	WO 2002-HU71	W	20020723		

OS MARPAT 138:153539

GI



I



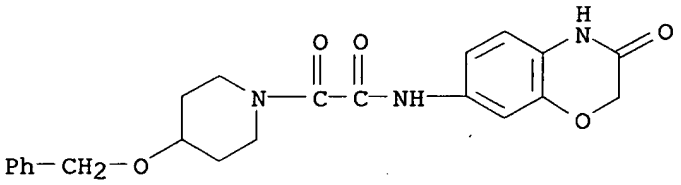
II

AB The title compds. I [wherein V and U = independently H, halo, OH, CN, NO2, NH2, alkylsulfonyloxy, carboxyl, CF3, CF3O, alkyl-SO2-NHCH2, NH2-(CH2)1-4-SO2NH, NH2-(CH2)1-4-CONH, sulfamoyl, CHO, aminomethyl, HOCH2, alkyl, alkoxymethyl, halo-CH2, tetrazolyl, alkoxy(carbonyl), alkanoyloxy, Ph, (un)substituted alkylamino, arylamino, aralkylamino, alkylsulfonamido, alkanoylamido, arylsulfonamido, or alkoxy groups; or the neighboring V and U together form (un)substituted 4-7 membered ring with the atoms attached; W and X = independently CO, CH2, or CH-alkyl; Y = O, (cyclo)alkylene, alkynylene, aminocarbonyl, NH, N-alkyl, CH2O, CH(OH), or OCH2; Z = H, halo, NO2, NH2, alkyl, alkoxy, CN, CF3, OH, or CO2H; R1 and R2 = independently H or alkyl; or R1 and R2 together form (un)substituted C1-C3 bridge; n and m = independently 0-3 with restriction that n and m ≠ 0 at the same time; with provisos] and optical antipodes, racemates, or pharmaceutically acceptable salts thereof are prepared as NMDA receptor antagonists, and moreover most of the compds. are selective antagonist of NR2B subtype of NMDA receptor. For example, 2-[4-(4-fluorobenzyl)piperidin-1-yl]-2-oxoacetic acid (prepn given) was treated with 5-amino-1,3-dihydroindol-2-one in DMF in the presence of Et3N and HBTU to afford the acetamide II (48%). II showed IC50 of 0.0007 μM against NMDA in rat. Formulations containing I as an active ingredient were also described.

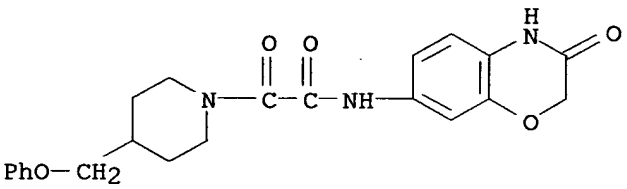
IT 496055-62-0P 496055-66-4P 496056-25-8P

496056-88-3P 496056-89-4P 496058-91-4P
496058-92-5P 496058-93-6P 496058-94-7P
496058-95-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NMDA receptor antagonist; preparation of piperidinylacetamides by coupling reactions as NMDA receptor antagonists)

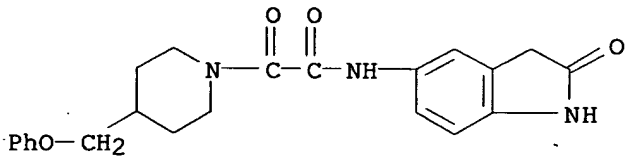
RN 496055-62-0 CAPLUS
CN 1-Piperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-α-oxo-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



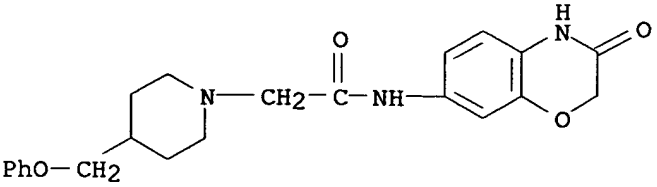
RN 496055-66-4 CAPLUS
CN 1-Piperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-α-oxo-4-(phenoxymethyl)- (9CI) (CA INDEX NAME)



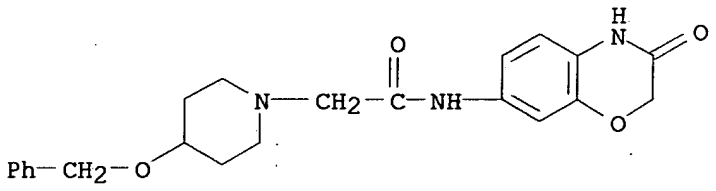
RN 496056-25-8 CAPLUS
CN 1-Piperidineacetamide, N-(2,3-dihydro-2-oxo-1H-indol-5-yl)-α-oxo-4-(phenoxymethyl)- (9CI) (CA INDEX NAME)



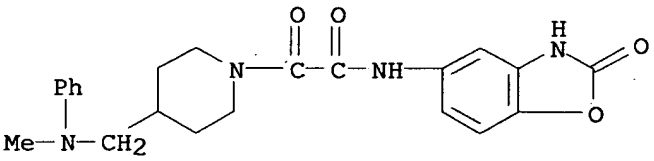
RN 496056-88-3 CAPLUS
CN 1-Piperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-4-(phenoxymethyl)- (9CI) (CA INDEX NAME)



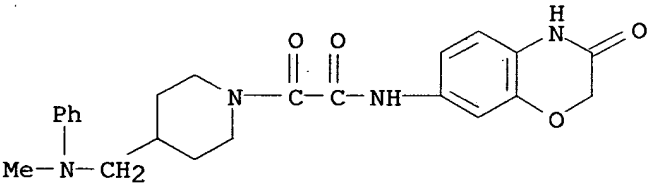
RN 496056-89-4 CAPLUS
CN 1-Piperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



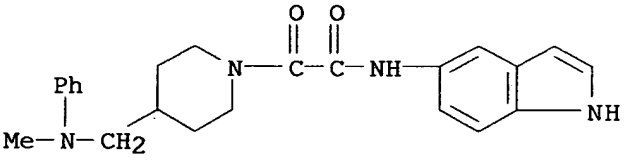
RN 496058-91-4 CAPLUS
CN 1-Piperidineacetamide, N-(2,3-dihydro-2-oxo-5-benzoxazolyl)-4-[(methylphenylamino)methyl]-α-oxo- (9CI) (CA INDEX NAME)



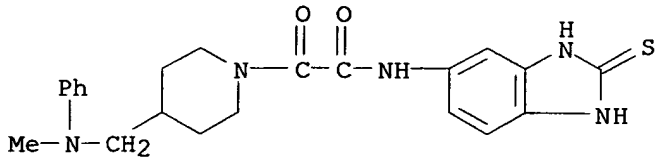
RN 496058-92-5 CAPLUS
CN 1-Piperidineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-4-[(methylphenylamino)methyl]-α-oxo- (9CI) (CA INDEX NAME)



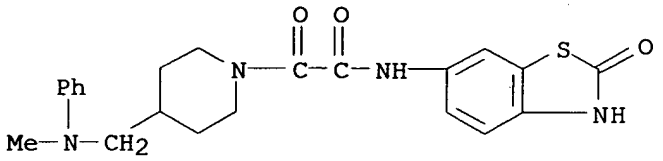
RN 496058-93-6 CAPLUS
CN 1-Piperidineacetamide, N-1H-indol-5-yl-4-[(methylphenylamino)methyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 496058-94-7 CAPLUS
CN 1-Piperidineacetamide, N-(2,3-dihydro-2-thioxo-1H-benzimidazol-5-yl)-4-[(methylphenylamino)methyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 496058-95-8 CAPLUS
CN 1-Piperidineacetamide, N-(2,3-dihydro-2-oxo-6-benzothiazolyl)-4-[(methylphenylamino)methyl]-α-oxo- (9CI) (CA INDEX NAME)

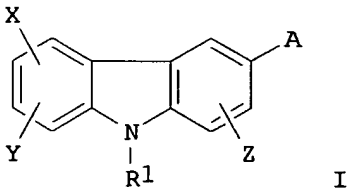


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:425418 CAPLUS
DN 137:6086
TI Preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists
IN Elliott, Richard L.; Griffith, David A.; Hammond, Marlys
PA Pfizer Inc., USA
SO U.S., 46 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6399631	B1	20020604	US 2000-620315	20000721
PRAI	US 1999-145304P	P	19990723		

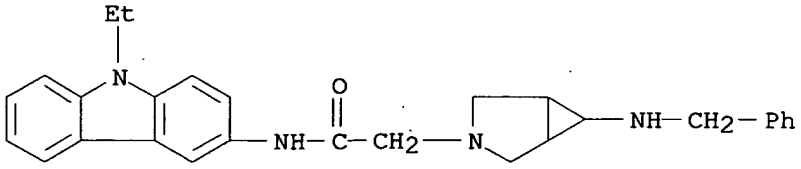
OS MARPAT 137:6086
GI



I

AB Title compds. I [X, Y, Z = H, halo, OH, NO2, CN, alkyl, alkoxy, amino, alkylamino, etc.; R1 = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR2CO, NR2SO2; R2 = H, alkyl, alkylaryl, alkenyl, etc.] were prepared For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH2Cl2, EDC, Et3N, DMAP, 19 h) to give I (X, Y, Z = H; R1 = Et; A = NHCOCH2CH2CH2N(CH3)2; II). II had Ki < 1 μM for the neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions associated with NPY-5 neurotransmission, e.g., obesity.

IT 432506-36-0P, N-[9-Ethyl-9H-carbazol-3-yl]-2-[6-benzylamino-3-azabicyclo[3.1.0]hex-3-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target drug; preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists)
RN 432506-36-0 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-3-acetamide, N-(9-ethyl-9H-carbazol-3-yl)-6-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

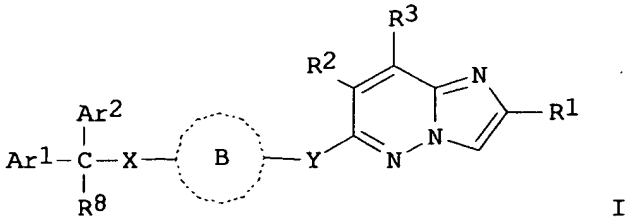


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

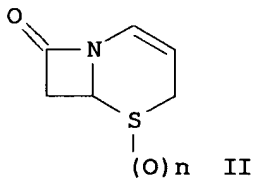
L11 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:359801 CAPLUS
DN 134:366883
TI Nasal drops containing fused pyridazine derivatives
IN Nagaya, Hideaki; Kawano, Yasuhiko; Kashihara, Toshio
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001034152	A1	20010517	WO 2000-JP7719	20001102
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 2001010543	A5	20010606	AU 2001-10543	20001102
	JP 2001199889	A2	20010724	JP 2000-340399	20001102
	EP 1243271	A1	20020925	EP 2000-971740	20001102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	JP 1999-321079	A	19991111		
	WO 2000-JP7719	W	20001102		

OS MARPAT 134:366883
GI



I



II

AB Nasal drops containing 1,2,4-triazolo[1,5-b]pyridazine or imidazo[1,2-b]pyridazine compds. of general formula (I), salts of the same, or prodrugs thereof [wherein Ar1 and Ar2 are each an aromatic group, or Ar1 and Ar2 together with the carbon atom adjacent thereto may form a fused-ring group; B is a nitrogenous heterocycle; X and Y are each a free valency, O, S(O)p (wherein p is 0 to 2), NR4 (wherein R4 is H or lower alkyl), or a divalent straight-chain lower hydrocarbon group which may be interrupted by 1 to 3 heteroatoms; A is N or CR7 (wherein R7 is H, halo, optionally substituted hydrocarbyl, acyl, or optionally substituted OH); R1, R2 and R3 are each H, halo, optionally hydrocarbyl, acyl, or optionally hydroxy; and R8 is H, HO, lower alkoxy, CO2H, lower alkoxy-carbonyl, with the proviso that B is not a heterocycle of formula (II) (wherein n is 0 to 1)], which are antihistaminics and/or inhibitors of eosinophil chemotaxis, or allergy inhibitors or preventives or therapeutics against allergic rhinitis or pollen allergy, are described. Thus, 363.6 g 4-(diphenylmethoxy)-1-piperidinepropaneamine, 200.0 g 2-(6-chloroimidazolo[1,2-b]pyridazin-2-yl)-2-methylpropionic acid Et ester, and 158.4 g Na2CO3 were suspended in 600 mL DMSO, heated at 165-170° with stirring for 3.5 h to give, after workup, 588 g 2-[6-[3-[4-(diphenylmethoxy)piperidino]propylamino]imidazo[1,2-b]pyridazin-2-yl]-2-methylpropionic acid Et ester which was saponified with NaOH in aqueous ethanol at 60° for 1 h, concentrated under reduced pressure, and treated with water and EtOAc. The aqueous layer was separated, washed twice with EtOAc, and treated with 1 N HCl to adjust the pH at .apprx.6, and the precipitated crystals were collected by filtration, washed with aqueous ethanol and water, and dried to give 82.0% 2-[6-[3-[4-(diphenylmethoxy)piperidino]propylamino]imidazo[1,2-b]pyridazin-2-yl]-2-methylpropionic acid (III) (353.6 g) which was recrystd. from ethanol to give 276 g III.2H2O. Nasal spray of a solution containing 0.1% III.2H2O in vivo inhibited the histamine-induced nasal secretion by 72% and the histamine-induced increase in the nasal cavity pressure by 70% in guinea pigs. A nasal solution containing III was formulated.

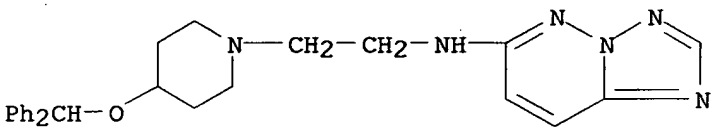
IT 215529-08-1P 215529-20-7P 215529-95-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyridazine derivs. as antihistaminics and/or inhibitors of eosinophil chemotaxis, or allergy inhibitors or preventives or therapeutics against allergic rhinitis for nasal drops)

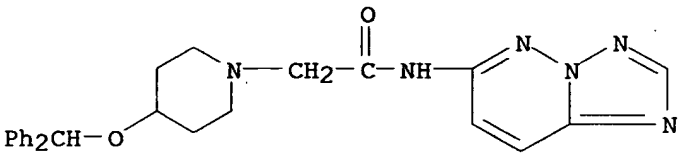
RN 215529-08-1 CAPLUS

CN [1,2,4]Triazolo[1,5-b]pyridazin-6-amine, N-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



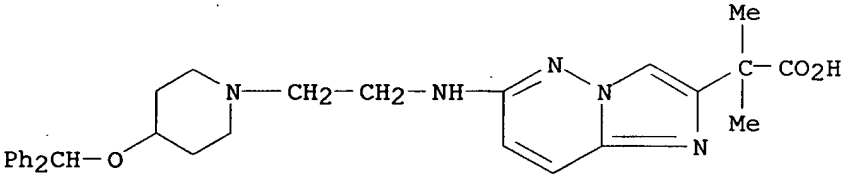
RN 215529-20-7 CAPLUS

CN 1-Piperidineacetamide, 4-(diphenylmethoxy)-N-[1,2,4]triazolo[1,5-b]pyridazin-6-yl- (9CI) (CA INDEX NAME)



RN 215529-95-6 CAPLUS

CN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl- (9CI) (CA INDEX NAME)



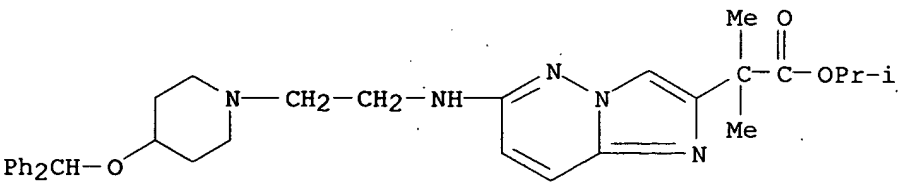
IT 215531-25-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused pyridazine derivs. as antihistaminics and/or inhibitors of eosinophil chemotaxis, or allergy inhibitors or preventives or therapeutics against allergic rhinitis for nasal drops)

RN 215531-25-2 CAPLUS

CN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



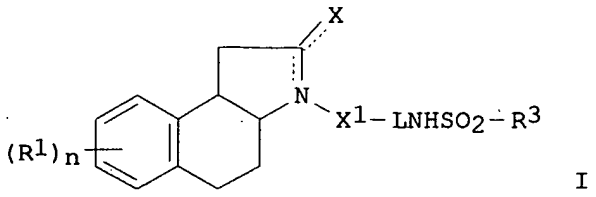
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

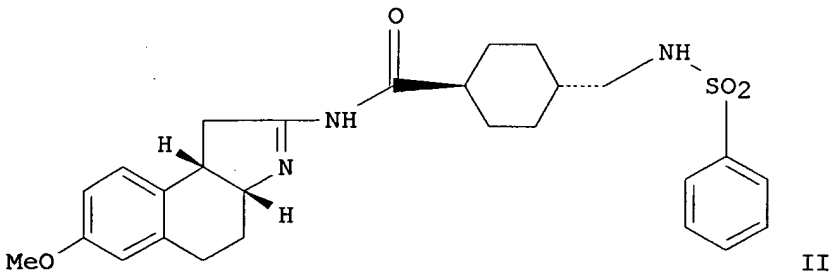
L11 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:814460 CAPLUS
DN 133:350139
TI Preparation of 3a,4,5,9b-tetrahydro-1H-benzo[e]indol-2-yl amine-derived neuropeptide y receptors ligands useful in the treatment of obesity and disorders of CNS
IN Dax, Scott; Mcnally, James
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000068197	A1	20001116	WO 2000-US10981	20000420
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2373035	AA	20001116	CA 2000-2373035	20000420
	EP 1177172	A1	20020206	EP 2000-928340	20000420
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6841552	B1	20050111	US 2000-552969	20000420
	TW 553933	B	20030921	TW 2000-89108583	20000703
	US 2005054709	A1	20050310	US 2004-900554	20040728
	US 6987188	B2	20060117		
PRAI	US 1999-132660P	P	19990505		
	US 2000-552969	A	20000420		
	WO 2000-US10981	W	20000420		

OS MARPAT 133:350139
GI



I



II

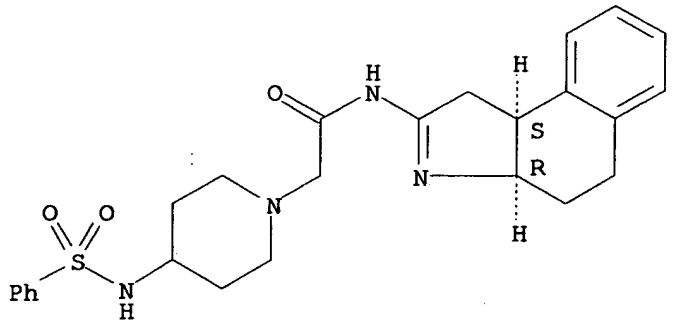
AB Title compds. [I; X = NR2YLZ, NH; X1 = CH2, CO; dotted bonds = single, double; R1 = H, OH, Cl, F, I, Br, alkyl alkoxy, (un)substituted phenyl; R3 = alkyl, cycloalkyl, naphthyl, heteroaryl, (un)substituted phenyl; n = 0, 1, 2; R2 = H, alkyl; Y = CH2, CO; L = alkylene, cycloalkylene, arylclkylene, (N-methylene)piperidin-4-yl, (N-methylene)piperazin-4-yl, (N-methylene)piperidin-4,4-diyl; Z = (un)substituted Ph, N-sulfonamido, N-(aryl)sulfonamido, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl, 1-aryl-2,3-dihydro-4-oxo-imidazol-5,5-diyl], enantiomers, diastereomers, and pharmaceutically acceptable salts are prepared as such are useful in the treatment of obesity, eating disorders, anorexia nervosa, bulimia nervosa, diabetes, hypertension, memory loss, epileptic seizures, migraine, sleep disorders, pain, sexual/reproductive disorders, depression or anxiety and disorders of the central nervous system. Pharmaceutical composition comprising therapeutically effective amount of title compds. and pharmaceutically acceptable carrier and method of treating disorders and diseases associated with NPY receptor subtype Y5 comprising administering to a mammal are claimed. Thus, the title compound II was prepared and tested for the human NPY Y5 receptor binding affinity.

IT 306299-61-6P 306299-62-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrobenzo[e]indoly-2-ylamines as NPY Y5 receptor subtype useful for obesity, eating, sleep, sexual, and depression disorders)

RN 306299-61-6 CAPLUS

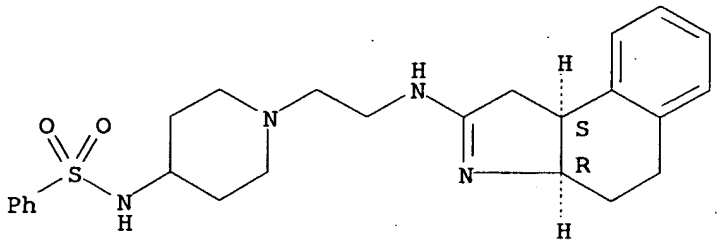
CN 1-Piperidineacetamide, 4-[(phenylsulfonyl)amino]-N-[(3aR,9bS)-3a,4,5,9b-tetrahydro-1H-benz[e]indol-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 306299-62-7 CAPLUS
CN Benzenesulfonamide, N-[1-[2-[[(3aR,9bS)-3a,4,5,9b-tetrahydro-1H-benz[e]indol-2-yl]amino]ethyl]-4-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

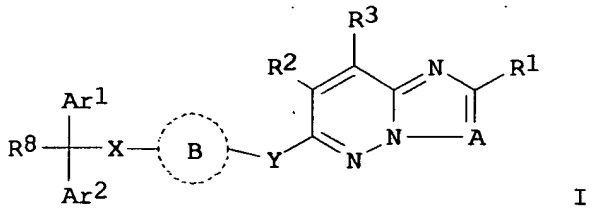
L11 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:277988 CAPLUS
DN 132:308347
TI Fused pyridazine derivatives, process for the preparation of the same and uses thereof
IN Kawano, Yasuhiko; Nagaya, Hideaki; Gyoten, Michiyo; Hara, Yukio; Ikeuchi, Motoki
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000023450	A1	20000427	WO 1999-JP5786	19991020
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2348022	AA	20000427	CA 1999-2348022	19991020
AU 9962273	A1	20000508	AU 1999-62273	19991020
JP 2000191663	A2	20000711	JP 1999-297724	19991020
JP 2000191664	A2	20000711	JP 1999-297725	19991020

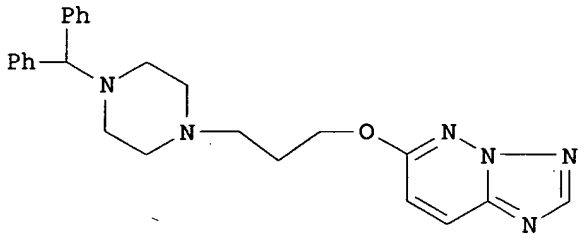
	JP 3484115	B2	20040106		
	EP 1123936	A1	20010816	EP 1999-949322	19991020
	EP 1123936	B1	20031210		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 256128	E	20031215	AT 1999-949322	19991020
	JP 2000198735	A2	20000718	JP 1999-305226	19991027
	US 6627630	B1	20030930	US 2001-807806	20010418
PRAI	JP 1998-299424	A	19981021		
	JP 1998-299425	A	19981021		
	JP 1998-307317	A	19981028		
	WO 1999-JP5786	W	19991020		

OS CASREACT 132:308347; MARPAT 132:308347

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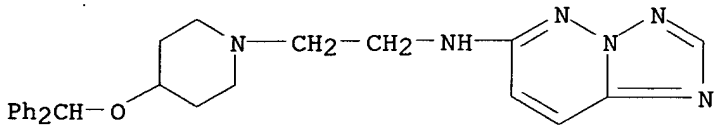
2 HCl II

AB Title compds. [I; wherein Ar1 and Ar2 are each an optionally substituted aromatic group, or alternatively Ar1 and Ar2 together with the carbon atom adjacent to them may form a fused ring; B is a piperidine ring, a piperazine ring, or the like; X and Y are each oxygen, a divalent linear lower hydrocarbon group which may be interrupted by one to three heteroatoms, or the like; A is nitrogen or CR7 (wherein R7 is hydrogen or the like); R1, R2 and R3 are each hydrogen or the like; and R8 is hydrogen or the like], salts,and prodrugs are prepared (process given) and exhibited antiallergic, antihistaminic, anti-inflammatory and eosinophil-inhibitory effects useful as preventive and therapeutic agents for allergic dermatosis. Thus, the title compound II was prepared

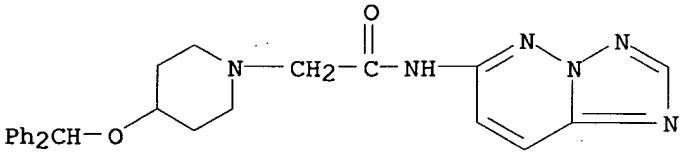
IT 215529-08-1P 215529-20-7P 215529-95-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as preventive and therapeutic agents)

RN 215529-08-1 CAPLUS

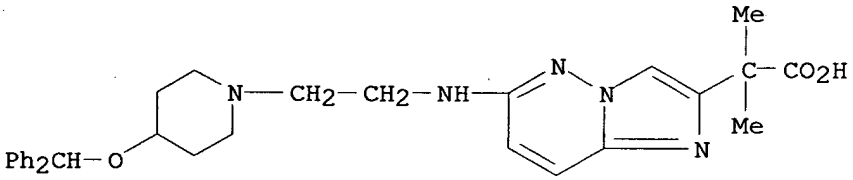
CN [1,2,4]Triazolo[1,5-b]pyridazin-6-amine, N-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 215529-20-7 CAPLUS
CN 1-Piperidineacetamide, 4-(diphenylmethoxy)-N-[1,2,4]triazolo[1,5-b]pyridazin-6-yl- (9CI) (CA INDEX NAME)



RN 215529-95-6 CAPLUS
CN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl- (9CI) (CA INDEX NAME)

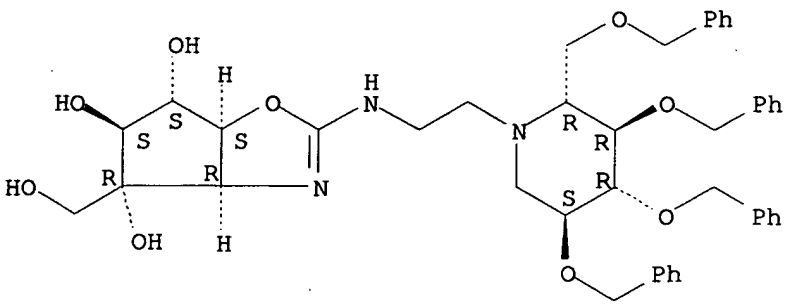


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:791599 CAPLUS
DN 130:110503
TI Syntheses of 1-deoxynojirimycin-trehalamine-fused and -linked compounds and their biological activities
AU Shiozaki, Masao; Yoshiike, Reiko; Ando, Osamu; Ubukata, Osamu; Haruyama, Hideyuki
CS Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Tokyo, 140-8710, Japan
SO Tetrahedron (1998), 54(50), 15167-15182
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
AB 1-Deoxynojirimycin-trehalamine-fused and -linked compds. were synthesized from 1-deoxy-2,3,4,6-tetra-O-benzylnojirimycin and trehazolamine, which was obtained from natural trehazolin as a degradation product. None of these synthetic compds. exceeded 1-deoxynojirimycin in the inhibitory activities towards rat intestinal maltase and yeast α-D-glucosidase.
IT 205649-49-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deoxynojirimycin-trehalamine fused and linked compds. and their enzyme inhibitory activity)
RN 205649-49-6 CAPLUS
CN 4H-Cyclopentoxazole-4,5,6-triol, 3a,5,6,6a-tetrahydro-4-(hydroxymethyl)-2-

[[2-[(2R,3R,4R,5S)-3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-piperidinyl]ethyl]amino]-, (3aR,4R,5S,6S,6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

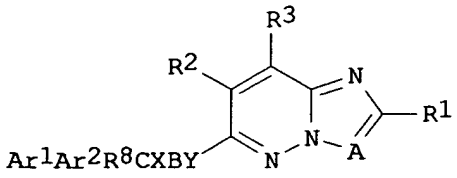


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:721700 CAPLUS
DN 129:343503
TI Preparation of condensed pyridazine derivatives having antihistaminic or eosinophil chemotaxis-inhibiting activity.
IN Kawano, Yasuhiko; Nagaya, Hideaki; Gyoten, Michiyo
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9849167	A1	19981105	WO 1998-JP1869	19980423
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2285264	AA	19981105	CA 1998-2285264	19980423
	AU 9870799	A1	19981124	AU 1998-70799	19980423
	JP 11310581	A2	19991109	JP 1998-113011	19980423
	JP 2961534	B2	19991012		
	JP 11310582	A2	19991109	JP 1999-32626	19980423
	EP 979231	A1	20000216	EP 1998-917645	19980423
	EP 979231	B1	20041124		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 283270	E	20041215	AT 1998-917645	19980423
	KR 2000049156	A	20000725	KR 1999-703249	19990414
	US 6248740	B1	20010619	US 1999-284362	19990414
PRAI	JP 1997-109914	A	19970425		
	JP 1998-46688	A	19980227		
	JP 1998-113011	A3	19980423		
	WO 1998-JP1869	W	19980423		

OS MARPAT 129:343503
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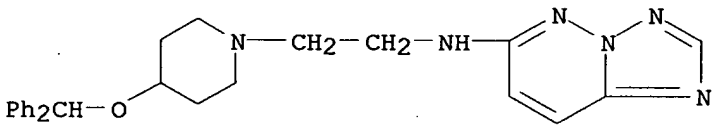
I

AB Title compds. [I; Ar1, Ar2 = (substituted) aryl; Ar1Ar2C = condensed cyclic group; B = (substituted) N-containing heterocyclyl; X, Y = bond, O, S, SO, SO2, NR4, (substituted) bivalent linear lower hydrocarbyl may contain 1-3 heteroatoms; R4 = H, alkyl; A = N, CR7; R7 = H, halo, (substituted) hydrocarbyl, acyl, (substituted) hydroxy; R1, R2, R3 = H, halo, (substituted) hydrocarbyl, acyl (substituted) hydroxy; R8 = H, (substituted) hydroxy], were prepared Thus, 4-diphenylmethyl-1-piperazinepropanol was refluxed 30 min. with NaOCMe3 in THF; 6-chloro-1,2,4-triazolo[1,5-b]pyridazine was added and the mixture was refluxed 3 h to give 6-[3-[4-(diphenylmethyl)piperazino]propoxy]-1,2,4-triazolo[1,5-b]pyridazine hydrochloride. Tested I at 3 mg/kg orally in guinea pigs gave 91-92% inhibition of histamine-induced skin reactions.

IT 215529-08-1P 215529-20-7P 215529-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed pyridazine derivs. having antihistaminic or eosinophil chemotaxis-inhibiting activity)

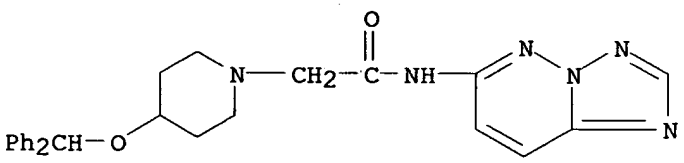
RN 215529-08-1 CAPLUS

CN [1,2,4]Triazolo[1,5-b]pyridazin-6-amine, N-[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



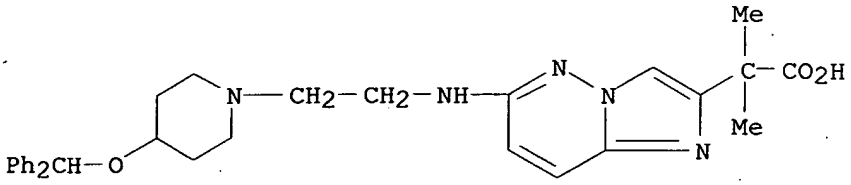
RN 215529-20-7 CAPLUS

CN 1-Piperidineacetamide, 4-(diphenylmethoxy)-N-[1,2,4]triazolo[1,5-b]pyridazin-6-yl- (9CI) (CA INDEX NAME)

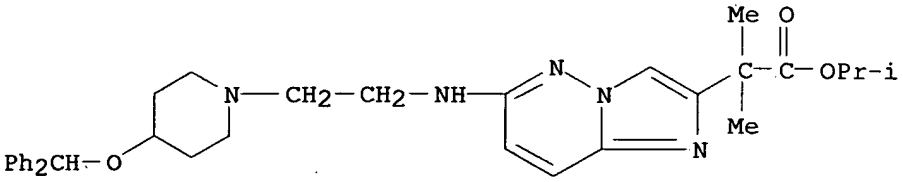


RN 215529-95-6 CAPLUS

CN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl- (9CI) (CA INDEX NAME)



IT 215531-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of condensed pyridazine derivs. having antihistaminic or eosinophil chemotaxis-inhibiting activity)
RN 215531-25-2 CAPLUS
CN Imidazo[1,2-b]pyridazine-2-acetic acid, 6-[[2-[4-(diphenylmethoxy)-1-piperidinyl]ethyl]amino]-α,α-dimethyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

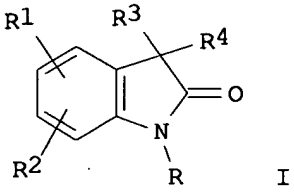
L11 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:402418 CAPLUS
DN 129:67697
TI Preparation of spiro[indolinone] derivatives as vasopressin V2 receptor antagonists
IN Foulon, Loic; Serradeil-Le Gal, Claudine; Valette, Gerard
PA Sanofi, Fr.; Foulon, Loic; Serradeil-Le Gal, Claudine; Valette, Gerard
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825901	A1	19980618	WO 1997-FR2270	19971211
	W: AL, AU, BR, BY, CA, CN, CZ, EE, HU, ID, IL, IS, JP, KR, LK, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, VN, YU				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2757157	A1	19980619	FR 1996-15384	19961213
	FR 2757157	B1	19991231		
	CA 2274898	AA	19980618	CA 1997-2274898	19971211
	AU 9854884	A1	19980703	AU 1998-54884	19971211
	EP 950047	A1	19991020	EP 1997-951307	19971211
	EP 950047	B1	20031015		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9713925	A	20000321	BR 1997-13925	19971211
	JP 2000509723	T2	20000802	JP 1998-526308	19971211
	JP 3330958	B2	20021007		
	AT 252080	E	20031115	AT 1997-951307	19971211
	NO 9902878	A	19990810	NO 1999-2878	19990611
	NO 313551	B1	20021021		

	MX 9905461	A	20000331	MX 1999-5461	19990611
	US 6090818	A	20000718	US 1999-331007	19990614
PRAI	FR 1996-15384	A	19961213		
	WO 1997-FR2270	W	19971211		

OS MARPAT 129:67697

GI



I

AB Title compds. [I; R = (un)substituted CH2Ph or -SO2Ph; R1,R2 = H, halo, alkyl, alkoxy, etc.; R3R4 = Z1CY1Y2Z2; Y1 = hydroxy(alkyl), (un)substituted amino(alkyl), carboxy(alkyl), etc.; Y2 = H or OH; Z1,Z2 = alkylene] were prepared Thus, Na 4,4-ethylenedioxycyclohexanecarboxylate was amidated by 4-(EtO)C6H4NHNH2 and the product cyclized to give I (R1 = 5-OEt, R2 = H, R3R4 = CH2CH2CY1Y2CH2CH2)(II; R = H, Y1Y2 = OCH2CH2O). II (R = H, Y1Y2 = O) was condensed with Ph3PCH2CH2CH(OCHMe2)2Br and the deprotected product condensed with morpholine to give, after reduction, II (Y1 = 3-morpholinopropyl, Y2 = H)(III; R = H). The latter was N-acylated by ClSO2C6H3(CONHCMe3)(OMe)-4,2 (preparation given) to give III [R = SO2C6H3(CONHCMe3)(OMe)-4,2]. Data for biol. activity of I were given.

IT 209108-98-5P

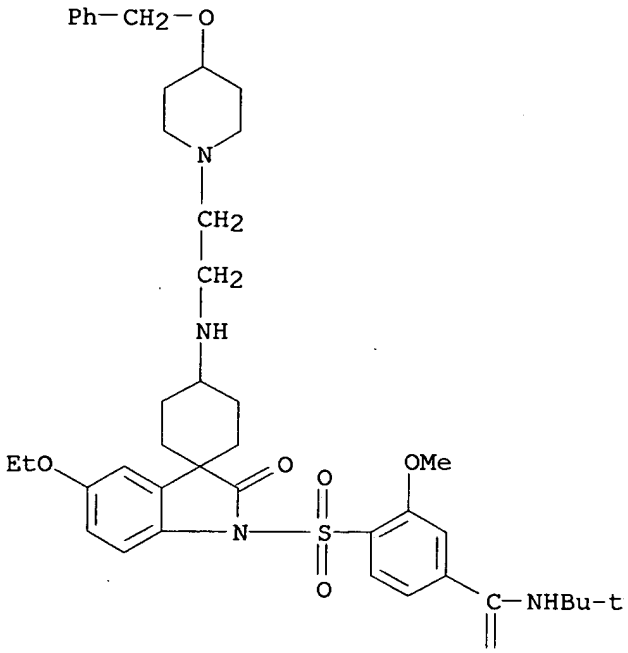
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of spiro[indolinone] derivs. as vasopressin V2 receptor antagonists)

RN 209108-98-5 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[[5'-ethoxy-2'-oxo-4-[[2-[4-(phenylmethoxy)-1-piperidinyl]ethyl]amino]spiro[cyclohexane-1,3'-[3H]indol]-1'(2'H)-yl]sulfonyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:225309 CAPLUS
DN 128:270801
TI Synthesis of 1-deoxynojirimycin-trehalamine fused compound and its related compounds
AU Shiozaki, Masao; Ubukata, Osamu; Haruyama, Hideyuki; Yoshiike, Reiko
CS Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Tokyo, 140, Japan
SO Tetrahedron Letters (1998), 39(14), 1925-1928
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

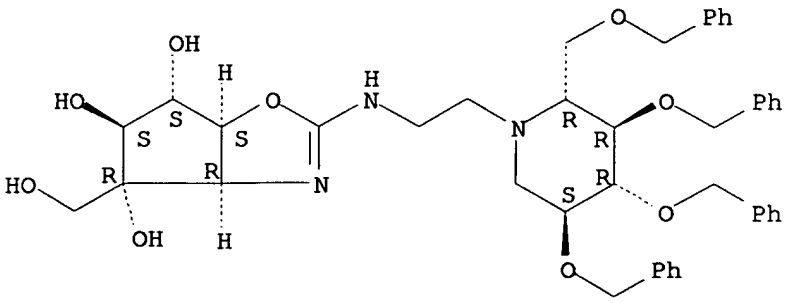
AB 1-Deoxynojirimycin-trehalamine fused compound I as a mixture together with II and its related compound III (n=2) were synthesized. The enzyme inhibitory activities of the mixture, III (n=1), and III (n=2) exhibited IC50 values of 0.68, 4.2, and 1.5 μg/mL, resp., toward rat intestinal maltase.
IT 205649-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deoxynojirimycin-trehalamine fused compds.)

RN 205649-49-6 CAPLUS

CN 4H-Cyclopentoxazole-4,5,6-triol, 3a,5,6,6a-tetrahydro-4-(hydroxymethyl)-2-[[2-[(2R,3R,4R,5S)-3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-1-piperidinyl]ethyl]amino]-, (3aR,4R,5S,6S,6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:656899 CAPLUS

DN 127:331398

TI Dimethylbenzofuran and dimethylbenzopyran derivatives and their use as 5-HT3 antagonists

IN Van Daele, Georges Henri Paul; Bosmans, Jean-paul Rene Marie Andre; Van Laerhoven, Willy Joannes Carolus

PA Janssen Pharmaceutica N.V., Belg.

SO U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 979,013, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5674868	A	19971007	US 1995-416914	19950616
	WO 9412494	A1	19940609	WO 1993-EP3206	19931115
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	IL 119273	A1	20000716	IL 1993-119273	19931118
	US 5863923	A	19990126	US 1997-848777	19970501
PRAI	US 1992-979013	B2	19921120		
	WO 1993-EP3206	W	19931115		
	IL 1993-107654	A3	19931118		
	US 1995-416914	A3	19950616		

OS MARPAT 127:331398

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

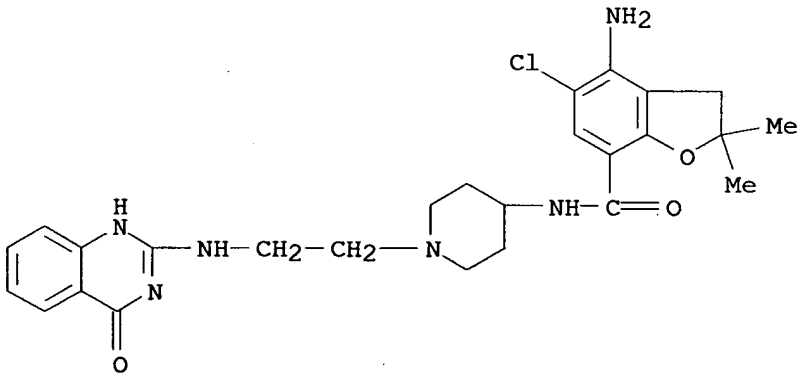
AB A method of treating 5-HT3-mediated disorders is disclosed, which comprises systemic administration of a title compound I or a

pharmaceutically acceptable acid addition salt or stereochem. isomeric form thereof [wherein R1, R2 = H, or R1R2 = CH:CHCH:CH, CH:C(Cl)CH:CH, CH:CHC(Cl):CH; n = 2, 3, 4; R3 = H or OMe; m = 1 or 2; R4 = H, NH2, or C1-3 alkylcarbonylamino; R5 = H or halo]. Also disclosed are novel compds., compns., and processes for preparing novel compds. and intermediates. The compds. are useful for treatment of diseases such as anxiety, psychosis, depression, schizophrenia, cognitive disorders, e.g. memory impairment, drug abuse, migraine, emesis, e.g. cytotoxic drug- and radiation-induced emesis, irritable bowel syndrome, especially diarrhea-predominant irritable bowel syndrome, and related disorders. Syntheses of 24 invention compds. and a variety of intermediates are given. For instance, 4-amino-5-chloro-2,3-dihydro-2,2-dimethyl-7-benzofurancarboxylic acid underwent a sequence of: (1) amidation with Et (-)-cis-4-amino-3-methoxy-1-piperidinecarboxylate (94%), (2) deprotection of the piperidine N (77.2%), (3) cyanoethylation of the piperidine N with CH2:CHCN (85.5%), (4) hydrogenation of the nitrile to give an amine (85.2%), and (5) condensation of the amine with 2-(methylthio)-4-pyrimidinone (29.7%) to give title compound (-)-cis-II. The latter compound inhibited the Bezold-Jarish reflex in rats with a lowest active dose of 0.04 mg/kg i.p.

IT 156971-22-1P 156971-25-4P 156971-26-5P
156971-28-7P 156971-29-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dimethylbenzofuran and dimethylbenzopyran derivs. as 5-HT3 antagonists)

RN 156971-22-1 CAPLUS

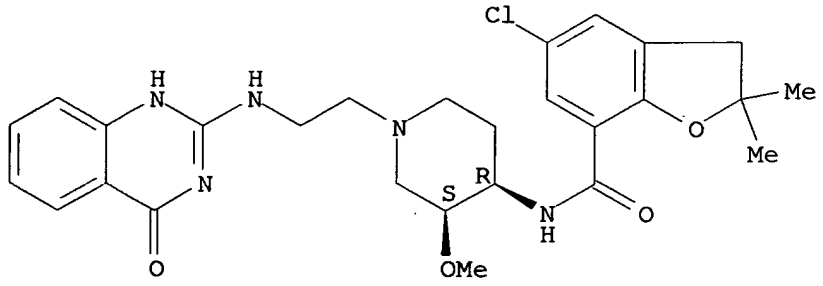
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



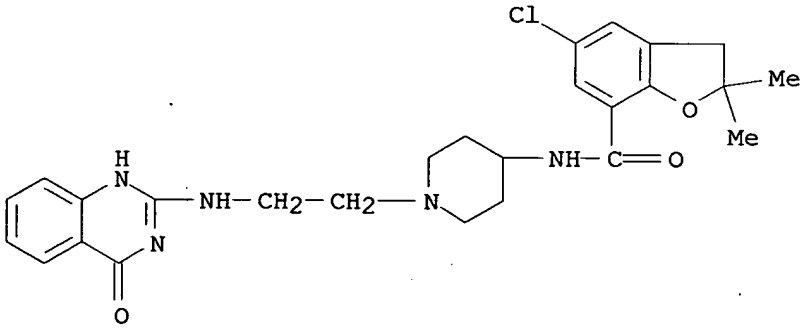
RN 156971-25-4 CAPLUS

CN 7-Benzofurancarboxamide, 5-chloro-N-[(3R,4S)-1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-3-methoxy-4-piperidinyl]-2,3-dihydro-2,2-dimethyl-, rel- (9CI) (CA INDEX NAME)

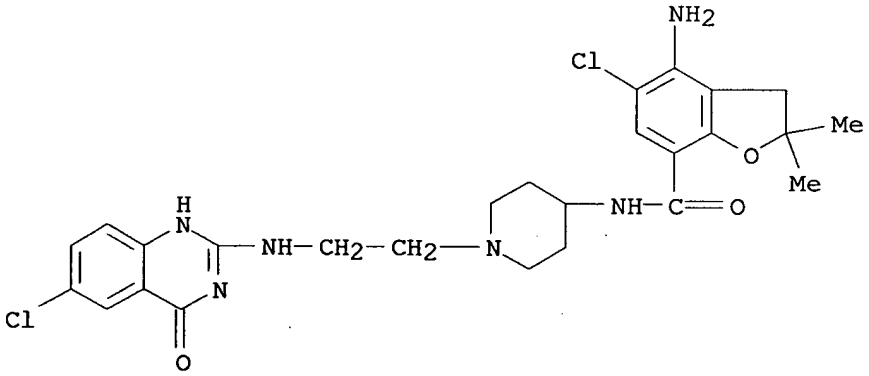
Relative stereochemistry.



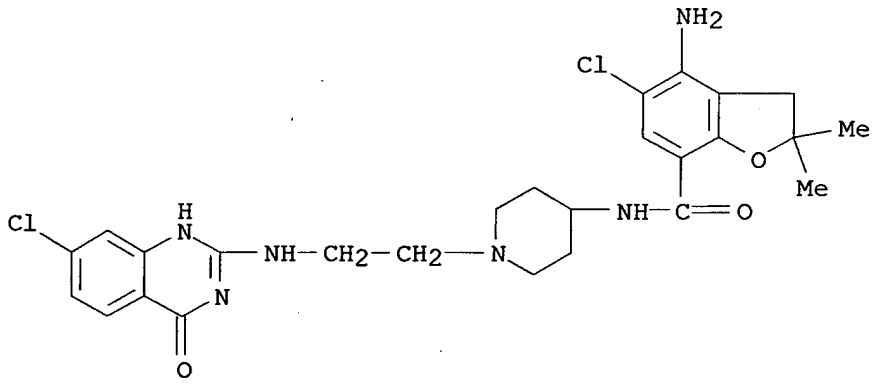
RN 156971-26-5 CAPLUS
CN 7-Benzofurancarboxamide, 5-chloro-N-[1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 156971-28-7 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 156971-29-8 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(7-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



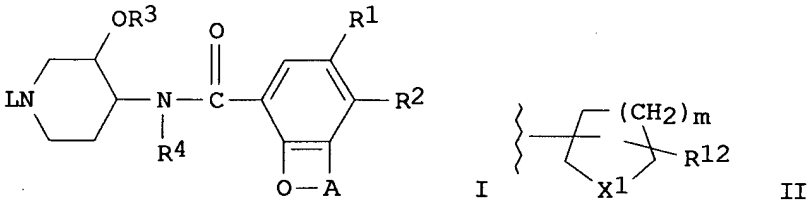
L11 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:305886 CAPLUS
DN 123:143904
TI N-(3-hydroxy-4-piperidinyl)(dihydrobenzofuran, dihydro-2H-benzopyran or dihydrobenzodioxin)carboxamide derivatives having gastrointestinal motility stimulating properties
IN Van Daele, George H. P.; Van Den Keybus, Frans M. A.
PA Janssen Pharmaceutica N.V., Belg.
SO U.S., 33 pp. Cont.-in-part of U.S. Ser. No. 326,941, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5374637	A	19941220	US 1990-489419	19900306
	AT 128132	E	19951015	AT 1990-200589	19900313
	ES 2081340	T3	19960301	ES 1990-200589	19900313
	CA 2012432	AA	19900922	CA 1990-2012432	19900316
	CA 2012432	C	20001114		
	JP 02289566	A2	19901129	JP 1990-68541	19900320
	JP 2845341	B2	19990113		
	IL 93817	A1	19950330	IL 1990-93817	19900320
	IL 110397	A1	19950526	IL 1990-110397	19900320
	NO 9001306	A	19900924	NO 1990-1306	19900321
	NO 176101	B	19941024		
	NO 176101	C	19950201		
	AU 9052091	A1	19900927	AU 1990-52091	19900321
	AU 616838	B2	19911107		
	CN 1045781	A	19901003	CN 1990-101524	19900321
	CN 1034502	B	19970409		
	ZA 9002188	A	19911127	ZA 1990-2188	19900321
	HU 58322	A2	19920228	HU 1990-1627	19900321
	HU 221621	B1	20021228		
	RU 2037492	C1	19950619	RU 1990-4743491	19900321
	FI 101624	B	19980731	FI 1990-1421	19900321
	FI 101624	B1	19980731		
	KR 163587	B1	19981201	KR 1990-3782	19900321
	RU 2108332	C1	19980410	RU 1993-50009	19931102
	FI 9404076	A	19940905	FI 1994-4076	19940905
	FI 101623	B	19980731		
	FI 101623	B1	19980731		
	US 5552553	A	19960903	US 1994-301825	19940907
	US 5521314	A	19960528	US 1995-414676	19950331
	US 5616738	A	19970401	US 1995-414673	19950331
	US 5554772	A	19960910	US 1995-415888	19950403

	US 5565582	A	19961015	US 1995-415953	19950403
	US 5576448	A	19961119	US 1995-415885	19950403
	US 5536733	A	19960716	US 1995-421659	19950413
	US 5602129	A	19970211	US 1995-421727	19950413
	US 5610157	A	19970311	US 1995-421728	19950413
	US 5616583	A	19970401	US 1995-421658	19950413
	US 5739134	A	19980414	US 1995-421826	19950413
PRAI	US 1989-326941	B2	19890322		
	US 1990-489419	A3	19900306		
	IL 1990-93817	A3	19900320		
	FI 1990-1421	A	19900321		
	US 1994-301825	A3	19940907		

OS MARPAT 123:143904

GI



I II

AB N-(3-hydroxy-4-piperidinyl)(dihydrobenzofuran, dihydro-2H-benzopyran or dihydrobenzodioxin)carboxamide derivs. I [A = CH2CH2, (CH2)3, (CH2)4, wherein one or two hydrogen atoms in said groups may be replaced by a C1-6 alkyl group; R1 represents hydrogen, halo, C1-6 alkylsulfonyl, or aminosulfonyl; R2 represents hydrogen, amino, mono- or di(C1-6 alkyl)amino, arylC1-6 alkylamino, or C1-6 alkylcarbonylamino; R3 and R4 each independently represent hydrogen or C1-6 alkyl; and L represents a group of the formula Alk-R5, Alk-X-R6 wherein: each Alk represents C1-6 alkanediyl; X represents O, S, SO2, or NR7 wherein R7 represents hydrogen, C1-6 alkyl, or aryl; and R5 and R6 individually represent Het, wherein Het represents, e.g., group II (X1 = O or S, m represents 1 or 2, each R12 = hydrogen, C1-4 alkyl, C1-4 alkyloxyC1-4 alkyl, or hydroxyC1-4 alkyl, and R13 represents hydrogen, halo, or C1-4 alkyl)], their N-oxide forms and pharmaceutically acceptable salts having gastrointestinal motility stimulating properties, compns. containing these compds. as active ingredient and methods of treating warm-blooded animals suffering from the decreased peristalsis of the gastrointestinal system. Colon ascendens induced contractions: up to 42% effect at 1 + 10-7 M. Pharmaceutical formulations were given.

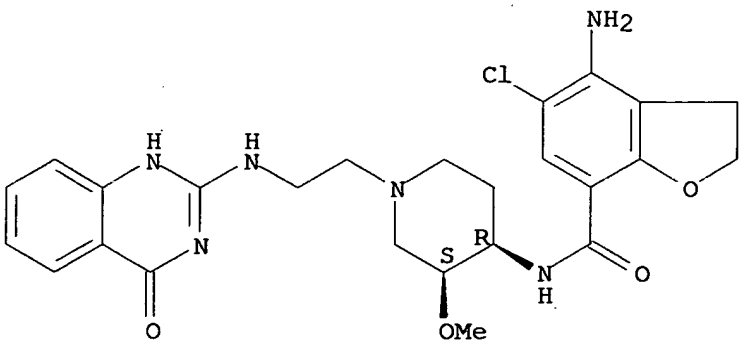
IT 132976-03-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(N-(3-hydroxy-4-piperidinyl)(dihydrobenzofuran, dihydro-2H-benzopyran or dihydrobenzodioxin)carboxamide derivs. having gastrointestinal motility stimulating properties)

RN 132976-03-5 CAPLUS

CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[(3R,4S)-1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-3-methoxy-4-piperidinyl]-2,3-dihydro-, rel- (9CI) (CA INDEX NAME)

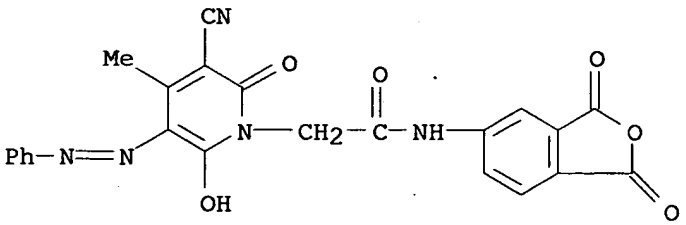
Relative stereochemistry.



L11 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:667917 CAPLUS
DN 121:267917
TI thermal-transfer recording material
IN Kamio, Takayoshi
PA Fuji Photo Film Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06032074	A2	19940208	JP 1992-192027	19920720
PRAI	JP 1992-192027		19920720		

GI For diagram(s), see printed CA Issue.
AB A thermal-transfer recording material producing high-d. transferred images comprises a dye-donating material comprising a dye layer on a support and an image-receiving material comprising an image-receiving layer on a support, wherein the image-receiving layer contains an active H compound and the dye layer contains a dye represented by the formula I (A = a dye residue; Y = an atomic group necessary for forming a 5-6-membered ring; Z = C or SO; B = a single bond or a divalent connecting group).
IT 158829-16-4
RL: USES (Uses)
(as dye for thermal-transfer recording material)
RN 158829-16-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-cyano-N-(1,3-dihydro-1,3-dioxo-5-isobenzofuranyl)-6-hydroxy-4-methyl-2-oxo-5-(phenylazo)- (9CI) (CA INDEX NAME)

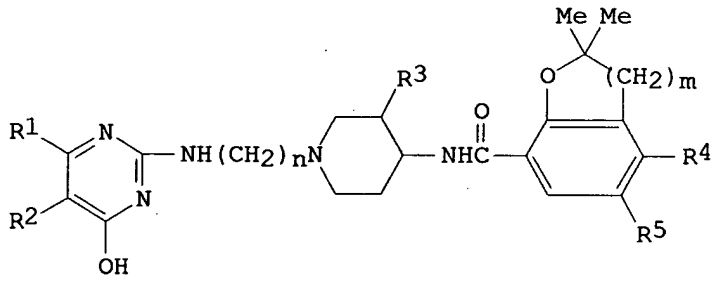


L11 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:499834 CAPLUS
DN 121:99834
TI Use of dimethylbenzofurans and dimethylbenzopyrans as 5-HT3 antagonists

IN Van Daele, Georges Henri Paul; Bosmans, Jean Paul Rene Marie A.; Van Laerhoven, Willy Joannes Carolus
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9412494	A1	19940609	WO 1993-EP3206	19931115
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2149044	AA	19940609	CA 1993-2149044	19931115
	AU 9454659	A1	19940622	AU 1994-54659	19931115
	AU 680640	B2	19970807		
	EP 669919	A1	19950906	EP 1994-900151	19931115
	EP 669919	B1	19990127		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	HU 72740	A2	19960528	HU 1995-1476	19931115
	RU 2116072	C1	19980727	RU 1995-113434	19931115
	AT 176232	E	19990215	AT 1994-900151	19931115
	PL 176051	B1	19990331	PL 1993-309045	19931115
	ES 2129615	T3	19990616	ES 1994-900151	19931115
	BR 9307477	A	19990629	BR 1993-7477	19931115
	RO 115160	B1	19991130	RO 1995-941	19931115
	CZ 287262	B6	20001011	CZ 1995-1258	19931115
	SK 282378	B6	20020107	SK 1995-675	19931115
	IL 107654	A1	19980104	IL 1993-107654	19931118
	IL 119273	A1	20000716	IL 1993-119273	19931118
	ZA 9308676	A	19950519	ZA 1993-8676	19931119
	FI 9502458	A	19950519	FI 1995-2458	19950519
	NO 9501980	A	19950519	NO 1995-1980	19950519
	NO 307690	B1	20000515		
	US 5674868	A	19971007	US 1995-416914	19950616
	LV 10956	B	19961020	LV 1995-184	19950620
	NO 9905762	A	19950519	NO 1999-5762	19991124
	NO 308530	B1	20000925		
PRAI	US 1992-979013	A	19921120		
	WO 1993-EP3206	W	19931115		
	IL 1993-107654	A3	19931118		

OS MARPAT 121:99834
GI



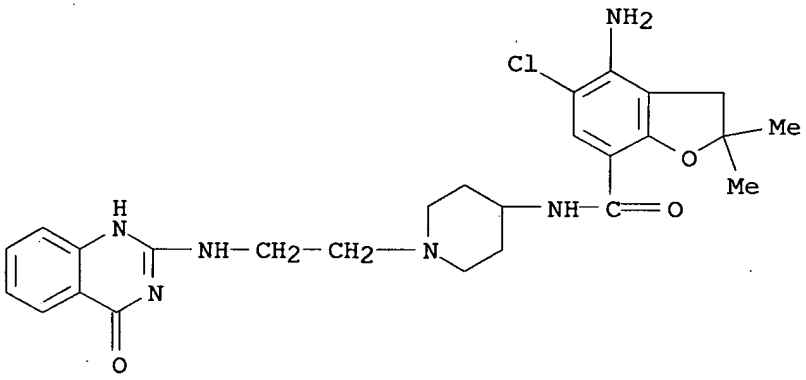
I

AB A method of treating 5-HT3-mediated disorders comprises systemic administration of an effective amount of I [R1, R2 = H, or R1 and R2 taken

together from a bivalent radical of CH:CHCH:CH, CH:C(Cl)CH:CH, CH:CHC(Cl):CH; (n = 2-4); R3 = H, OMe; m = 1, 2; R4 = H, amino, C1-3-alkylcarbonylamino; R5 = H, halo] or a pharmaceutically acceptable acid addition salt form or stereochem. isomeric form thereof. Also disclosed are novel compds., compns., and processes for preparing the novel compds. and intermediates therefor. Thus, (-)-cis-4-amino-5-chloro-2,3-dihydro-N-[1-(3-((3,4-dihydro-4-oxo-2-pyrimidinyl)amino)propyl)-3-methoxy-4-piperidinyl]-2,2-dimethyl-7-benzofurancarboxamide (preparation given) had a LAD (lowest active dose) of 0.04 mg/kg in the von Bezold-Jarish test for 5-HT3 antagonism. Preparation and testing of other I are included.

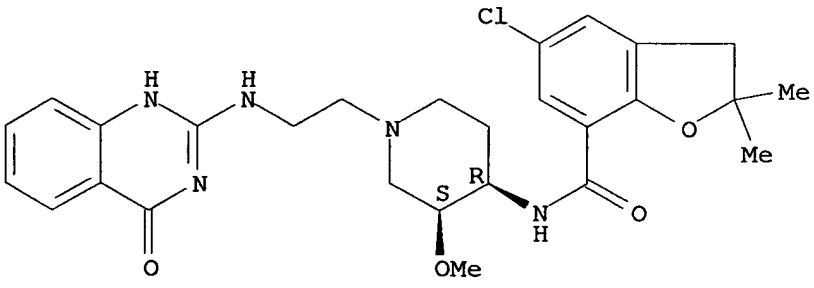
IT 156971-22-1P 156971-25-4P 156971-26-5P
156971-28-7P 156971-29-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for 5-HT3 antagonist)

RN 156971-22-1 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)

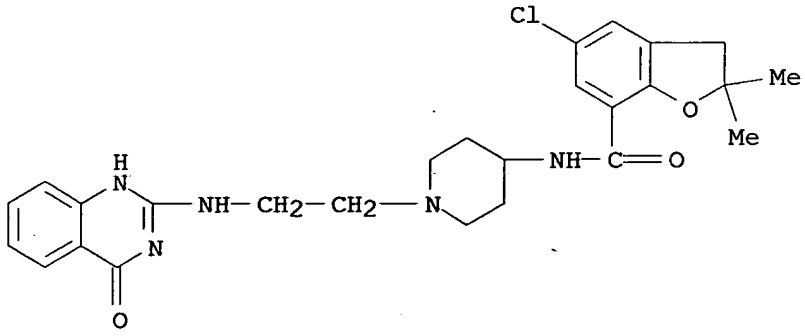


RN 156971-25-4 CAPLUS
CN 7-Benzofurancarboxamide, 5-chloro-N-[(3R,4S)-1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-3-methoxy-4-piperidinyl]-2,3-dihydro-2,2-dimethyl-, rel- (9CI) (CA INDEX NAME)

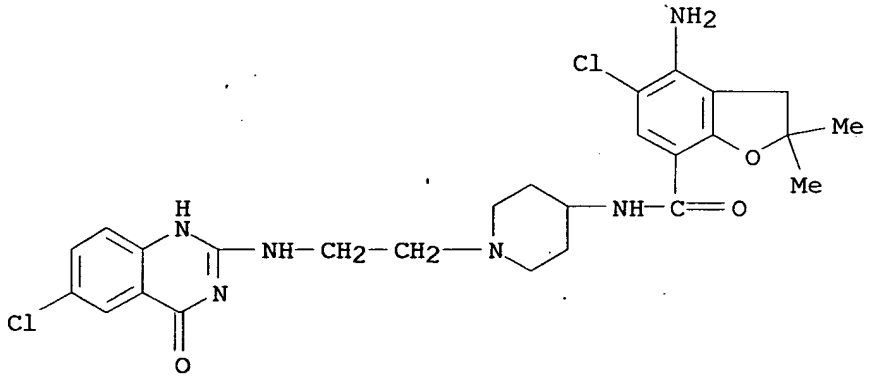
Relative stereochemistry.



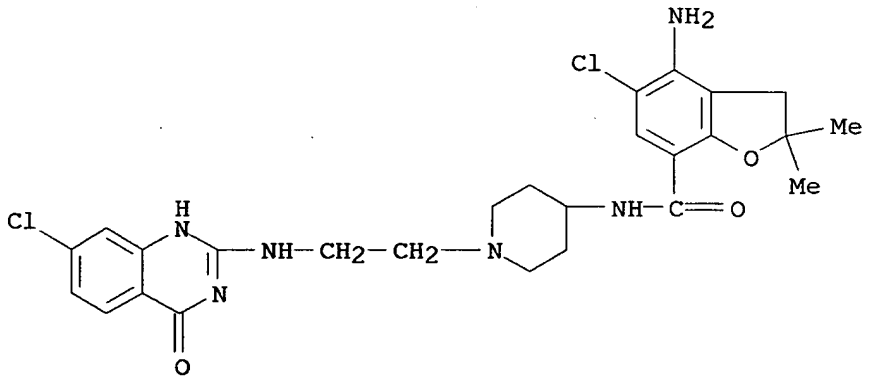
RN 156971-26-5 CAPLUS
CN 7-Benzofurancarboxamide, 5-chloro-N-[1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 156971-28-7 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 156971-29-8 CAPLUS
CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[1-[2-[(7-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-4-piperidinyl]-2,3-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)

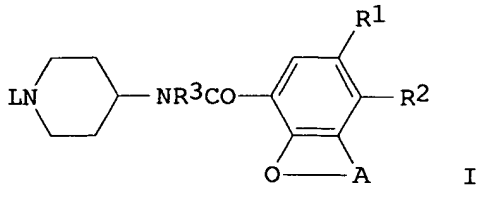


L11 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:656008 CAPLUS
DN 115:256008
TI Preparation of N-(4-piperidinyl)dihydrobenzofuran- or dihydro-2H-benzopyrancarboxamides as gastrointestinal motility stimulants

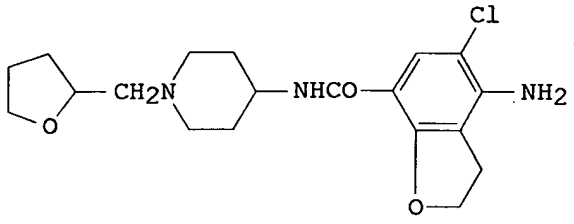
IN Van Daele, Georges Henri Paul; Bosmans, Jean Paul Rene Marie Andre; De Cleyn, Michel Anna Jozef
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 445862	A2	19910911	EP 1991-200382	19910222
	EP 445862	A3	19920520		
	EP 445862	B1	20000419		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5185335	A	19930209	US 1991-650328	19910204
	AT 191912	E	20000515	AT 1991-200382	19910222
	CZ 286617	B6	20000517	CZ 1991-460	19910222
	ES 2147175	T3	20000901	ES 1991-200382	19910222
	SK 282406	B6	20020107	SK 1991-460	19910222
	SK 282407	B6	20020107	SK 1998-1623	19910222
	JP 04211685	A2	19920803	JP 1991-58048	19910228
	KR 177521	B1	19990320	KR 1991-3440	19910302
	AU 9172079	A1	19910912	AU 1991-72079	19910304
	AU 636012	B2	19930408		
	CA 2037575	AA	19910907	CA 1991-2037575	19910305
	CA 2037575	C	20030916		
	FI 9101096	A	19910907	FI 1991-1096	19910305
	FI 101622	B	19980731		
	FI 101622	B1	19980731		
	NO 9100863	A	19910909	NO 1991-863	19910305
	NO 177424	B	19950606		
	NO 177424	C	19950913		
	HU 60733	A2	19921028	HU 1991-706	19910305
	HU 221627	B1	20021228		
	ZA 9101611	A	19921125	ZA 1991-1611	19910305
	RU 2070884	C1	19961227	RU 1991-4894778	19910305
	CN 1054598	A	19910918	CN 1991-101360	19910306
	CN 1038936	B	19980701		
	PL 168384	B1	19960229	PL 1991-308052	19910306
	PL 168356	B1	19960229	PL 1991-308055	19910306
	PL 168686	B1	19960329	PL 1991-308053	19910306
	PL 168693	B1	19960329	PL 1991-308054	19910306
	PL 168811	B1	19960430	PL 1991-289323	19910306
	PL 169238	B1	19960628	PL 1991-309550	19910306
	IL 97018	A1	19951127	IL 1991-97018	19911024
	US 5262418	A	19931116	US 1992-977314	19921117
	LV 10085	B	19950220	LV 1992-232	19921127
	HR 930483	B1	20010430	HR 1993-483	19930323
	LT 3708	B	19960226	LT 1993-846	19930810
	HU 9500241	A3	19950828	HU 1995-241	19950616
	HK 1010727	A1	20000908	HK 1998-111558	19981027
	GR 3033461	T3	20000929	GR 2000-401151	20000519
PRAI	GB 1990-5014	A	19900306		
	US 1991-650328	A3	19910204		
	CS 1991-460	A	19910222		
	YU 1991-396	A6	19910306		

OS MARPAT 115:256008
GI



I



II

AB The title compds. [I; A = (alkyl substituted) (CH2)2-4; R1 = H, halo; R2 = H, (mono- or dialkyl) amino, alkoxycarbonylamino; R3 = H, alkyl; L = (oxo) cycloalkyl, (ar)alkenyl, (un)substituted alkyl] were prepared Thus, 4-amino-5-chloro-2,3-dihydro-7-benzofurancarboxylic acid was condensed with Et 4-amino-1-piperidinecarboxylate and the deprotected product condensed with tetrahydro-2-furanmethyl methanesulfonate to give title compound II which gave 52% the contractile effect of 3.4 + 10-6M methacholine on colon segments at 3 + 10-6M in vitro.

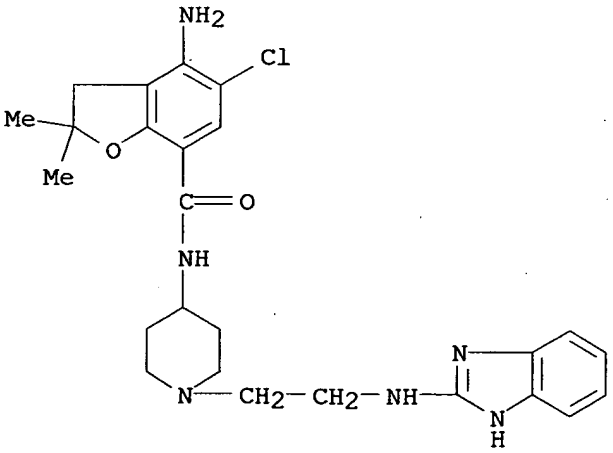
IT 137211-31-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as gastrointestinal motility stimulant)

RN 137211-31-5 CAPLUS

CN 7-Benzofurancarboxamide, 4-amino-N-[1-[2-(1H-benzimidazol-2-ylamino)ethyl]-4-piperidinyl]-5-chloro-2,3-dihydro-2,2-dimethyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

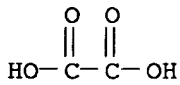
CRN 137211-30-4
CMF C25 H31 Cl N6 O2



CM 2

CRN 144-62-7

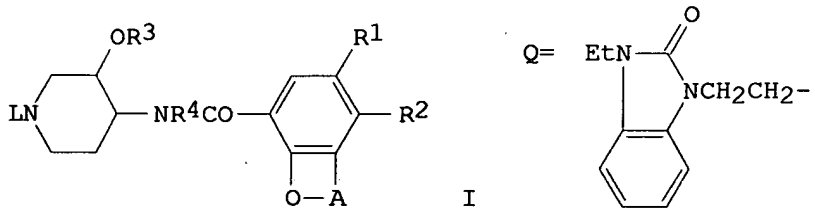
CMF C2 H2 O4



L11 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:164012 CAPLUS
DN 114:164012
TI Preparation of N-(3-methoxy-4-piperidinyl)dihydrobenzofuran-, -dihydro-2H-benzopyran-, or -dihydrobenzodioxincarboxamides for enhancing gastrointestinal motility
IN Van Daele, Georges Henri Paul; Van den Keybus, Frans Maria Alfons
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 389037	A1	19900926	EP 1990-200589	19900313
	EP 389037	B1	19950920		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 128132	E	19951015	AT 1990-200589	19900313
	ES 2081340	T3	19960301	ES 1990-200589	19900313
	CA 2012432	AA	19900922	CA 1990-2012432	19900316
	CA 2012432	C	20001114		
	JP 02289566	A2	19901129	JP 1990-68541	19900320
	JP 2845341	B2	19990113		
	IL 93817	A1	19950330	IL 1990-93817	19900320
	IL 110397	A1	19950526	IL 1990-110397	19900320
	NO 9001306	A	19900924	NO 1990-1306	19900321
	NO 176101	B	19941024		
	NO 176101	C	19950201		
	AU 9052091	A1	19900927	AU 1990-52091	19900321
	AU 616838	B2	19911107		
	CN 1045781	A	19901003	CN 1990-101524	19900321
	CN 1034502	B	19970409		
	ZA 9002188	A	19911127	ZA 1990-2188	19900321
	HU 58322	A2	19920228	HU 1990-1627	19900321
	HU 221621	B1	20021228		
	RU 2037492	C1	19950619	RU 1990-4743491	19900321
	FI 101624	B	19980731	FI 1990-1421	19900321
	FI 101624	B1	19980731		
	KR 163587	B1	19981201	KR 1990-3782	19900321
	RU 2108332	C1	19980410	RU 1993-50009	19931102
	FI 9404076	A	19940905	FI 1994-4076	19940905
	FI 101623	B	19980731		
	FI 101623	B1	19980731		
PRAI	US 1989-326941	A	19890322		
	IL 1990-93817	A3	19900320		
	FI 1990-1421	A	19900321		

OS MARPAT 114:164012
GI



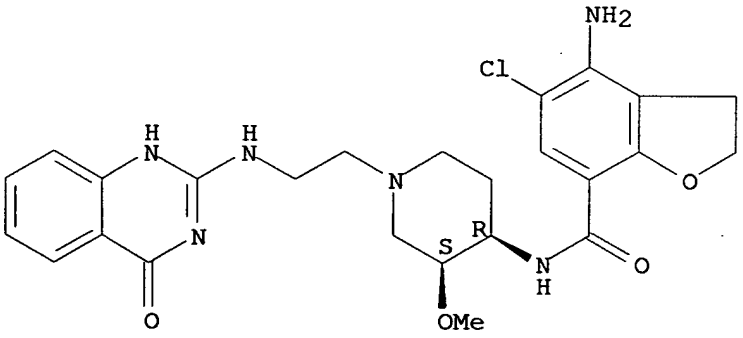
AB The title compds. [I; A = (un)substituted (CH2)2-4, (CH2)1-3O; L = cycloalkyl, (aryl)alkenyl, heterocyclylalkyl, etc.; R1 = H, halo, alkylsulfonyl, SO2NH2; R2 = H, (un)substituted NH2; R3, R4 = H, alkyl] were prepared Thus, 4-amino-5-chloro-2,3-dihydro-2,2-dimethyl-7-benzofurancarboxylic acid was stirred with ClCO2Et in CHCl3 containing Et3N and the whole added to Et 4-amino-3-methoxy-1-piperidinecarboxylate in CHCl3 to give, after saponification, I (R1 = Cl, R2 = NH2, R3 = Me, R4 = H) (II; A = CMe2CH2, L = H). II (A = CH2CH2, L = H) was refluxed 1 h with Na2CO3 in Me2CHCH2COMe containing NaI after which chloroethylbenzimidazolone QCl was added and reflux continued to give II (A = CH2CH2, L = Q) which, at 1.10 + 10-7 M, enhanced 42% the contraction of colon segments induced by 3.4 + 10-6 M methacholine.

IT 132976-03-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for gastrointestinal motility enhancement)

RN 132976-03-5 CAPLUS

CN 7-Benzofurancarboxamide, 4-amino-5-chloro-N-[(3R,4S)-1-[2-[(1,4-dihydro-4-oxo-2-quinazolinyl)amino]ethyl]-3-methoxy-4-piperidinyl]-2,3-dihydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L11 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1990:118850 CAPLUS

DN 112:118850

TI Preparation of piperidine and piperazine derivatives as antihistaminics and their pharmaceutical compositions

IN Koda, Akihide; Kita, Jun Ichiro; Kuroki, Yoshiaki; Fujiwara, Hiroshi; Takamura, Shinji; Yamano, Kayoko

PA Ube Industries, Ltd., Japan

SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW

DT Patent

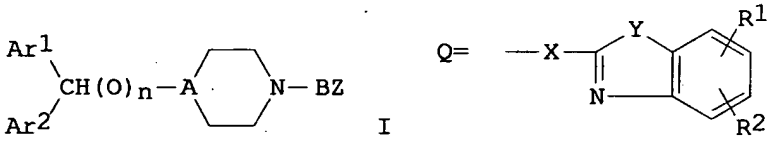
LA English

FAN.CNT 1

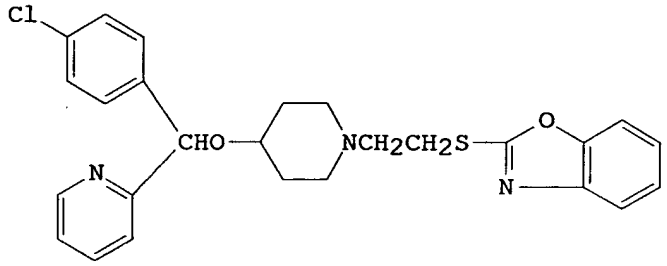
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	EP 335586	A1	19891004	EP 1989-302894	19890322
	EP 335586	B1	19930602		
	R: CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 01242574	A2	19890927	JP 1988-69711	19880325
	JP 02025465	A2	19900126	JP 1988-175142	19880715
	JP 05033953	B4	19930520		
	US 4929618	A	19900529	US 1989-325306	19890316
	CA 1340207	A1	19981215	CA 1989-594067	19890317
	ES 2058504	T3	19941101	ES 1989-302894	19890322
PRAI	JP 1988-69711	A	19880325		
	JP 1988-175142	A	19880715		
OS	MARPAT 112:118850				

GI



I



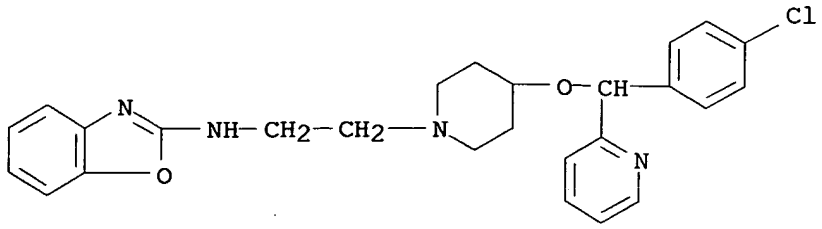
II

AB Approx. 50 title compds. I [Ar1, Ar2 = Ph, halo-, nitro-, alkoxy-, alkyl-, or (haloalkyl)phenyl, pyridyl; A = N and n = 0; or A = CH and n = 1; B = alkylene, alkenylene; Z = COZ' or benzazole-containing group Q; X, Y = NH, O, S; R1, R2 = H, halo, alkyl, alkoxy; Z' = alkyl, OH, alkoxy, OPh, NH2, alkylamino, NHPh, Ph, alkylphenyl; Ar1 and/or Ar2 = pyridyl when Z' = Ph or alkylphenyl; A = CH and n = 1 when Z = COZ'] were prepared as antiallergies and antihistaminics. For example, condensation of 4-[(4-chlorophenyl)-2-pyridylmethoxy]piperidine with 2-(2-bromoethylthio)benzoxazole using K2CO3 in dioxane gave 84% II. I are more potent than terfenadine, have little or no thiopental-potentiating (sedative) activity, and low toxicity.

IT 125602-56-4P 125602-57-5P 125602-62-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihistaminic)

RN 125602-56-4 CAPLUS

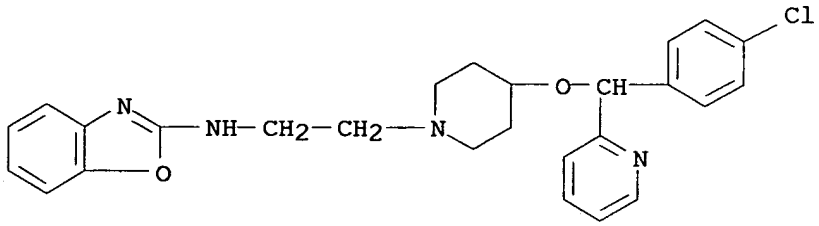
CN 2-Benzoxazolamine, N-[2-[4-[(4-chlorophenyl)-2-pyridinylmethoxy]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 125602-57-5 CAPLUS
CN 2-Benzoxazolamine, N-[2-[4-[(4-chlorophenyl)-2-pyridinylmethoxy]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

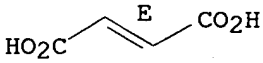
CRN 125602-56-4
CMF C26 H27 Cl N4 O2



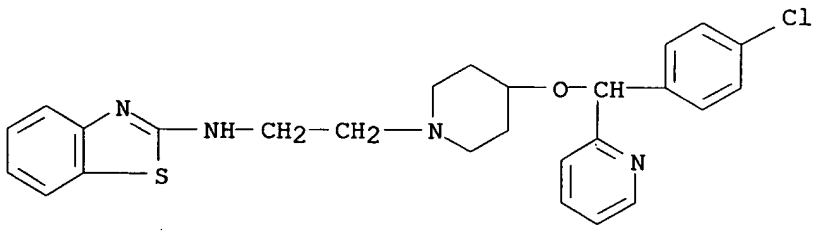
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 125602-62-2 CAPLUS
CN 2-Benzothiazolamine, N-[2-[4-[(4-chlorophenyl)-2-pyridinylmethoxy]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:423392 CAPLUS
DN 111:23392
TI Preparation of N-(3-hydroxy-4-piperidinyl)benzamides as gastrointestinal

motility stimulating agents

IN Van Daele, Georges H. P.; Vlaeminck, Freddy F.; Van Loon, Karel J.

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 299566	A2	19890118	EP 1988-201400	19880705
	EP 299566	A3	19900808		
	EP 299566	B1	19940907		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4906643	A	19900306	US 1988-204793	19880610
	ES 2064341	T3	19950201	ES 1988-201400	19880705
	SU 1738088	A3	19920530	SU 1988-4356073	19880711
	AU 8819067	A1	19890119	AU 1988-19067	19880714
	AU 608338	B2	19910328		
	CA 1317951	A1	19930518	CA 1988-571979	19880714
	DK 8803960	A	19890118	DK 1988-3960	19880715
	FI 8803378	A	19890118	FI 1988-3378	19880715
	FI 94049	B	19950331		
	FI 94049	C	19950710		
	NO 8803171	A	19890118	NO 1988-3171	19880715
	NO 171366	B	19921123		
	NO 171366	C	19930303		
	JP 01045382	A2	19890217	JP 1988-175269	19880715
	JP 2642955	B2	19970820		
	HU 49345	A2	19890928	HU 1988-3707	19880715
	HU 201926	B	19910128		
	ZA 8805151	A	19900328	ZA 1988-5151	19880715
	IL 87129	A1	19930315	IL 1988-87129	19880715
	CN 1030753	A	19890201	CN 1988-104445	19880716
	CN 1020900	B	19930526		
	KR 9711156	B1	19970707	KR 1988-8929	19880718
	US 5130312	A	19920714	US 1990-468496	19900123
PRAI	US 1987-74845	A	19870717		
	US 1988-204793	A3	19880610		

OS CASREACT 111:23392; MARPAT 111:23392

GI For diagram(s), see printed CA Issue.

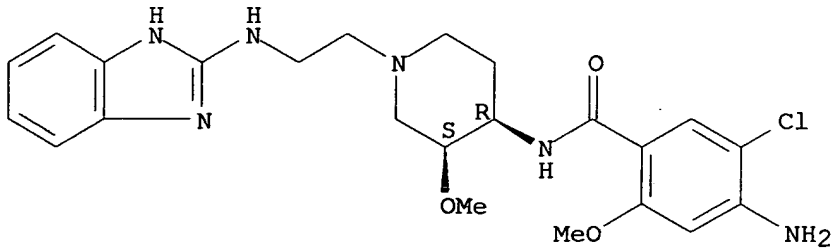
AB Title compds. I [R = 5- or 6-membered heterocyclyl; R1 = H, alkyl, aralkyl, alkylcarbonyl, (mono- and dialkyl-substituted) aminoalkyl; R2 = H, alkyl; R3, R4, R5 = H, alkyl, alkoxy, halo, OH, cyano, etc.; X = O, S, NR6, CO, CS; R6 = H, alkyl; Z = alkylene] are prepared A mixture of 4-chloro-1-(3-pyridyl)-1-butanone, cis-I (RXZ = H; R1 = Me; R2 = H; R3 = 4-NH2; R4 = 5-Cl; R5 = 2-MeO), Et3N, and KI in DMF was heated at 70° to give 20% cis I [RXZ = 4-oxo-4-(3-pyridyl)butyl; R1-R5 = the same as above], which, at 0.63 mg/kg p.o., showed 0.659 extinction coefficient of phenol red in gastric contents of phenol red-treated rats. vs. 1.41 for control. Capsules were formulated containing I 20, Na laurylsulfate 6, starch 56, lactose 56, colloidal SiO2 0.8, and Mg stearate 1.2 g per 103.

IT 120984-17-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as gastrointestinal motility stimulating agent)

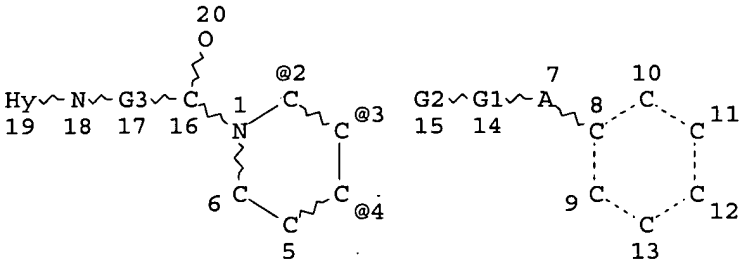
RN 120984-17-0 CAPLUS

CN Benzamide, 4-amino-N-[1-[2-(1H-benzimidazol-2-ylamino)ethyl]-3-methoxy-4-piperidinyl]-5-chloro-2-methoxy-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.


H
N
H
N
N
N
O
R
S
N
H
Cl
OMe
MeO
NH2

=> d l12
L12 HAS NO ANSWERS
L12 STR



VAR G1=O/N/AK
VAR G2=2/3/4
REP G3=(1-5) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 19
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

=> s l12 ful
FULL SEARCH INITIATED 15:13:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 800001 TO ITERATE

100.0% PROCESSED 800001 ITERATIONS 0 ANSWERS
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L14 0 SEA SSS FUL L12